=> d ibib ab hitstr 1-41

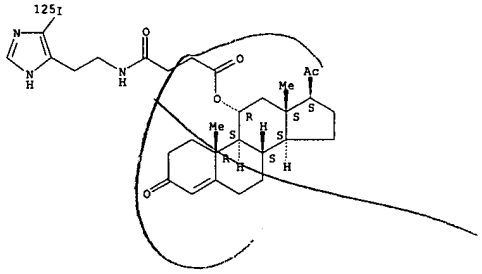
L12 ANSWER 1 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:660819 CAPLUS
DOCUMENT NUMBER: 130:125266
TITLE: Synthesis of a progesterone derivative and its labeling with 125I
AUTHOR(S): Huang, Wenlin; Lin, Meiling
CORPORATE SOURCE: Department of Isotopes, China Institute of Atomic Energy, Beijing, 102413, Peop. Rep. China
SOURCE: Tongweisu (1997), 10(4), 238-241
CODEN: TONGEM; ISSN: 1000-7512
PUBLISHER: Yuanzineng Chubanshe
DOCUMENT TYPE: Journal
LANGUAGE: Chinese

AB Progesterone-11.alpha.-hemisuccinyl iodo-125-histamine [125I-PHH] can be used for RIA. The synthesis of PHH and its labeling with 125I was described. The effect of the labeling conditions including the reaction time, the amt. of PHH, the pH value of reaction buffer and the quantity of chloramine-T on the labeling yield were studied. The labeling yield reaches 73%.

IT **219859-47-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of a progesterone deriv. and labeling with 125I)

RN 219859-47-9 CAPLUS
CN Pregn-4-ene-3,20-dione, 11-[4-[[2-[5-(iodo-125I)-1H-imidazol-4-yl]ethyl]amino]-1,4-dioxobutoxy]-, (11.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 2 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:672745 CAPLUS
DOCUMENT NUMBER: 127:356406
TITLE: An artificial cytochrome P450 that hydroxylates unactivated carbons with regio- and stereoselectivity and useful catalytic turnovers
AUTHOR(S): Breslow, Ronald; Huang, Ying; Zhang, Xiaojun; Yang, Jerry
CORPORATE SOURCE: Dep. Chem., Columbia Univ., New York, NY, 10027, USA
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (1997), 94(21), 11156-11158
CODEN: PNASA6; ISSN: 0027-8424
PUBLISHER: National Academy of Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A catalyst has been synthesized comprising a manganese porphyrin carrying four beta-cyclodextrin groups. It catalyzes the hydroxylation of substrates of appropriate size carrying tert-butylphenyl groups that can hydrophobically bind into the cyclodextrin cavities. In one example as many as 650 catalytic turnovers are seen before the catalyst is oxidatively destroyed, and with a rate comparable to that of typical cytochrome P 450 enzymes. In another example, a steroid deriv. is region- and stereoselectively hydroxylated at a single unactivated carbon atom, but more slowly and with fewer turnovers. The carbon attacked is not the most chem. reactive, and the selectivity is detd. by the geometry of the catalyst-substrate complex. Nonbinding substrates are not reactive under the conditions used, and substrates with more flexible binding geometries give more than a single product.

IT **198560-58-6**
RL: FMU (Formation, unclassified); FORM (Formation, nonpreparative)
(artificial cytochrome P 450 that hydroxylates unactivated carbons with regio- and stereoselectivity and useful catalytic turnovers)

RN 198560-58-6 CAPLUS
CN Androstane-3,6,17-triol, 3,17-bis[4-(1,1-dimethylethyl)-.beta.-[2-oxo-2-[(2-sulfoethyl)amino]ethyl]benzenepropanoate], (3.beta.,5.alpha.,6.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 2 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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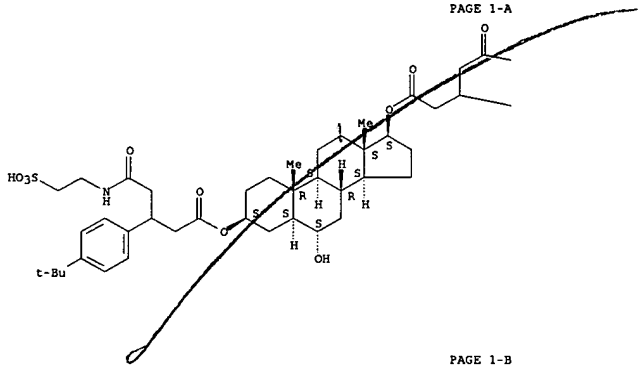
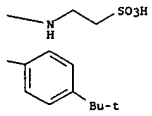


PAGE 1-B



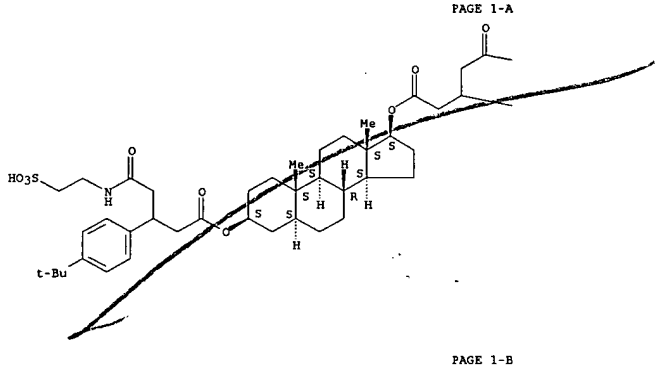
IT **189894-04-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(artificial cytochrome P 450 that hydroxylates unactivated carbons with regio- and stereoselectivity and useful catalytic turnovers)

RN 189894-04-0 CAPLUS
CN Androstane-3,17-diol, bis[4-(1,1-dimethylethyl)-.beta.-[2-oxo-2-[(2-sulfoethyl)amino]ethyl]benzenepropanoate], (3.beta.,5.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

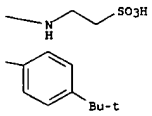
Absolute stereochemistry.

L12 ANSWER 2 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B



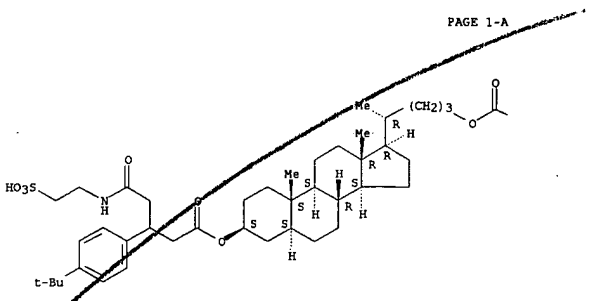
IT **198560-57-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(artificial cytochrome P 450 that hydroxylates unactivated carbons with regio- and stereoselectivity and useful catalytic turnovers)

RN 198560-57-5 CAPLUS
CN Cholane-3,24-diol, bis[4-(1,1-dimethylethyl)-.beta.-[2-oxo-2-[(2-sulfoethyl)amino]ethyl]benzenepropanoate], (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 2 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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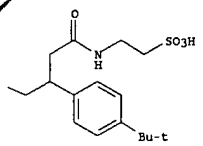


L12 ANSWER 3 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:653283 CAPLUS
DOCUMENT NUMBER: 127:336533
TITLE: Effect of ionic strength on solution stability of PNU-67590A, a micellar prodrug of methylprednisolone
AUTHOR(S): Okamoto, Hirokazu; Mori, Kiyoko; Ohtsuka, Kumiko; Ohuchi, Hiroyuki; Ishii, Hiroaki
CORPORATE SOURCE: Pharmacia and Upjohn, Tsukuba Research Laboratories, Tsukuba, 300-42, Japan
SOURCE: Pharmaceutical Research (1997), 14(9), 1181-1185
CODEN: PHREEB; ISSN: 0724-8741
PUBLISHER: Plenum
DOCUMENT TYPE: Journal
LANGUAGE: English

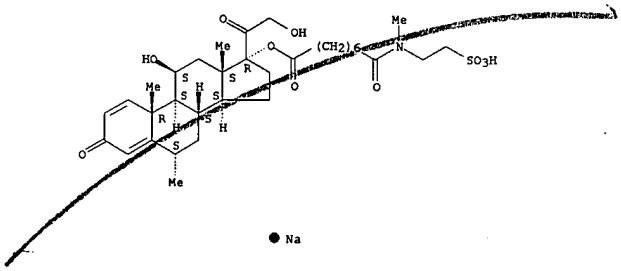
AB PNU-67590A is a water-sol. micellar prodrug of methylprednisolone (MP). The major products of degrdn. of PNU-67590A are MP by hydrolysis and methylprednisolone 17-suleptanate (17-E) by 21.fwdarw.17 acyl migration. The effect of ionic strength on micelle formation and stability of PNU-67590A in aq. soln. was examd. PNU-67590A solns. at pH 2 and 8 and ionic strength of 0.05, 0.1, 0.2, and 0.4 M were maintained at 25.degree.C in the dark to measure MP and 17-E levels over time. The rate of degrdn. of micellar PNU-67590A at pH 8 was less than that of monomeric PNU-67590A, and vice versa at pH 2. Increase in ionic strength decreased both the crit. micelle concn. of PNU-67590A and the degrdn. of micelle PNU-67590A at both pHs, resulting in improved overall stability of PNU-67590A. Formulation of PNU-67590A in a concd. soln. with high ionic strength will maximize stability and shelf-life.

IT **197776-67-3**, Methylprednisolone 17-suleptanate
RL: FMU (Formation, unclassified); FORM (Formation, nonpreparative)
(effect of ionic strength on soln. stability of PNU-67590A, micellar prodrug of methylprednisolone)

RN 197776-67-3 CAPLUS

CN Pregna-1,4-diene-3,20-dione, 11,21-dihydroxy-6-methyl-17-[[8-[methyl(2-sulfoethyl)amino]-1,8-dioxooctyl]oxy]-, monosodium salt, (6.alpha.,11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 3 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

L12 ANSWER 4 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:342359 CAPLUS
DOCUMENT NUMBER: 126:340291
TITLE: Selective Catalytic Hydroxylation of a Steroid by an Artificial Cytochrome P-450 Enzyme
AUTHOR(S): Breslow, Ronald; Zhang, Xiaojun; Huang, Ying
CORPORATE SOURCE: Department of Chemistry, Columbia University, New York, NY, 10027, USA
SOURCE: Journal of the American Chemical Society (1997), 119(19), 4535-4536
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A manganese-porphyrin carrying four cyclodextrin binding groups catalyzes the hydroxylation of an androstane deriv. that can bind into two cyclodextrin rings in water with catalytic turnover, and specific hydroxylation at C-6 of the steroid. A dihydrostilbene deriv. is also catalytically hydroxylated by this catalyst system. Analogs of the substrates that cannot bind into the cyclodextrin groups are unchanged under the reaction conditions. A steroid with less specific binding is also hydroxylated, but with a more random product pattern. This artificial enzyme mimics cytochrome P 450 in its ability to bind a substrate with selectivity and then hydroxylate a substrate position that is not particularly reactive except for its geometric proximity to the oxo-metal intermediate in the catalyst. Catalytic turnover is modest (4 to 14) since the catalyst is also oxidatively destroyed, but other work indicates how such problems can be overcome to produce a high turnover catalyst. Control reactions support the proposed mechanism.

IT **189894-04-0 189894-05-1**
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(selective catalytic hydroxylation of a steroid by artificial cytochrome P 450 enzyme)

RN 189894-04-0 CAPLUS

CN Androstane-3,17-diol, bis[4-(1,1-dimethylethyl)-.beta.-[2-oxo-2-[(2-sulfoethyl)amino]ethyl]benzenepropanoate], (3.beta.,5.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 4 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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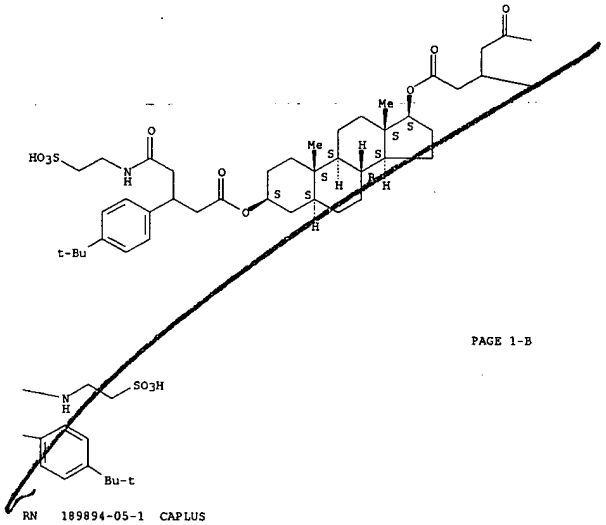

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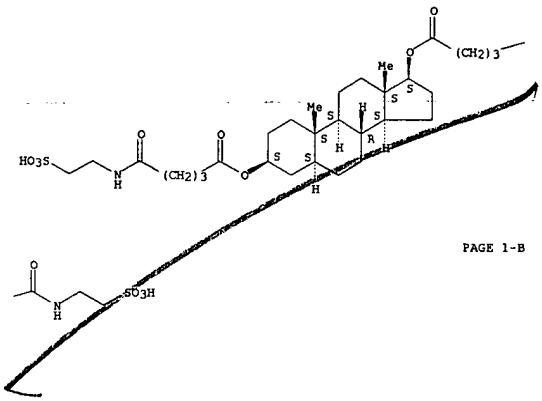
RN 189894-05-1 CAPLUS
CN Androstane-3,17-diol, bis[5-oxo-5-[(2-sulfoethyl)amino]pentanoate], (3.beta.,5.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 4 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B

L12 ANSWER 5 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:287176 CAPLUS
DOCUMENT NUMBER: 126:347281
TITLE: Testosterone prodrugs for improved drug delivery
INVENTOR(S): Hale, Ron L.; Lu, Amy T.; Solas, Dennis W.; Cormier, Michel J. N.
PATENT ASSIGNEE(S): Affymax Technologies N.V., UK; Alza Corporation
SOURCE: U.S., 30 pp., Cont.-in-part of U.S. Ser. No. 898,219, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5622944	A	19970422	US 1995-434892	19950504
US 5607691	A	19970304	US 1995-449188	19950524
PRIORITY APPLN. INFO.:			US 1992-898219	19920612
			US 1993-9463	19930127
			US 1993-77296	19930614
			US 1993-164293	19931209

OTHER SOURCE(S): MARPAT 126:347281

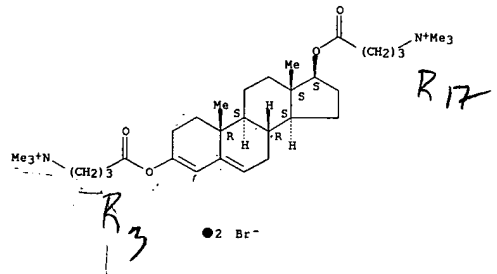
AB Compns. and methods are provided for enhanced transdermal electrotransport of 17-hydroxy sterol compds., including testosterone. The parent sterols are modified at the 17-hydroxy position by covalent attachment of a charged chem. modifier. The chem. modifier provides the parent sterol with enhanced transport properties and is hydrolyzed under physiol. conditions to release the active parent compd. The compn. comprises a 17-hydroxy sterol/chem. modifier complex, more generally represented by the formula (sterol-O-)C(O)-R-N(R1)(R2)(R3)+. The portion of the complex derived from the chem. modifier is indicated by C(O)-R-N(R1)(R2)(R3)+, where N(R1)(R2)(R3)+ represents a quaternary ammonium group and R1, R2, and R3 are independently selected from the group consisting of lower alkyl, alkyl, aryl, arylalkyl, cycloalkyl, heteroalkyl, and heteroarylalkyl; or R1 and R2 together with the nitrogen to which they are attached form a substituted heterocycle and R3 is lower alkyl, and R is a linking moiety, linking the (sterol-O)-C(O)- to the nitrogen atom.

IT **189830-21-5P**
RL: ADV (Adverse effect, including toxicity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(testosterone prodrugs for improved drug delivery)

RN 189830-21-5 CAPLUS
CN Androsta-3,5-diene-3,17-diol, bis[4-(trimethylammonio)butanoate], dibromide, (17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 5 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



quaternaryammonium-alkylcarboxy

10 2

1, 24, 5 8

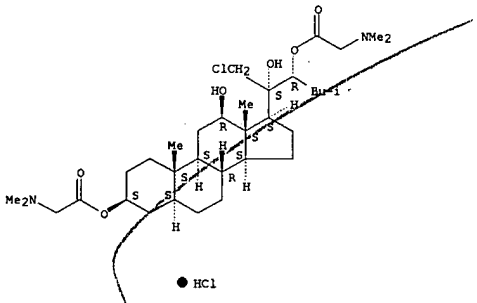
L12 ANSWER 6 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:257402 CAPLUS
DOCUMENT NUMBER: 126:277656
TITLE: Preparation of 21-chlorocholestane derivatives as antitumors
INVENTOR(S): Wada, Hisaya; Asanuma, Hajime; Yokoo, Chihiro; Yamada, Taiji
PATENT ASSIGNEE(S): Taisho Pharma Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09059295	A2	19970304	JP 1996-115165	19960510
PRIORITY APPLN. INFO.:			JP 1995-144695	19950612

OTHER SOURCE(S): MARPAT 126:277656
AB The title compds. [I; R = C1-13 alkyl; A = OH or group readily converted to OH; X, Y = oxo, C2-3 alkylenedioxy; X, Y = H, OH, C1-5 alkoxy, group readily hydrolyzed to OH; however, when X = OH or group readily hydrolyzed to OH, Y must be H, when Y = OH or group readily hydrolyzed to OH, X must be H; also, when X = C1-5 alkoxy, Y must be C1-5 alkoxy] are prepd. Thus, the title compd. II was prepd. in 10 steps from 3.beta.,12.beta.-dihydroxy-5.alpha.-pregnan-20-one and showed an IC50 of 0.0776 .mu.g/mL against KB cells in an in vitro study.
IT **188488-22-4P 188488-23-5P 188488-25-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of chlorocholestane derivs. as antitumors)
RN 188488-22-4 CAPLUS
CN Glycine, N,N-dimethyl-, (3.beta.,5.alpha.,12.beta.,20S,22R)-21-chloro-12,20-dihydroxy-26,27-dinorergostane-3,22-diyl ester, monohydrochloride (9CI) (CA INDEX NAME)

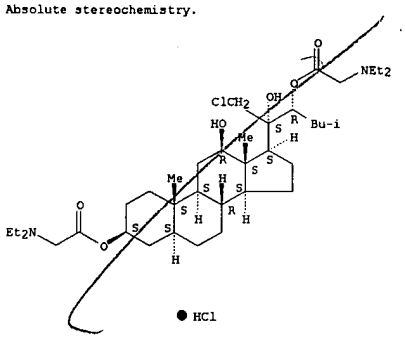
Absolute stereochemistry.

L12 ANSWER 6 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 188488-23-5 CAPLUS
CN Glycine, N,N-diethyl-, (3.beta.,5.alpha.,12.beta.,20S,22R)-21-chloro-12,20-dihydroxy-26,27-dinorergostane-3,22-diyl ester, monohydrochloride (9CI) (CA INDEX NAME)

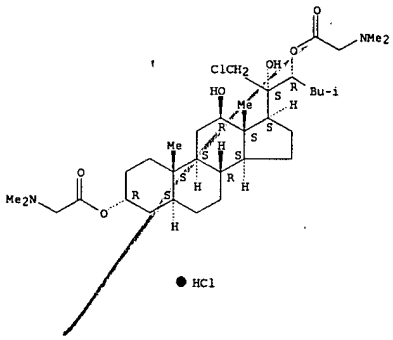
Absolute stereochemistry.



RN 188488-25-7 CAPLUS
CN Glycine, N,N-dimethyl-, (3.alpha.,5.alpha.,12.beta.,20S,22R)-21-chloro-12,20-dihydroxy-26,27-dinorergostane-3,22-diyl ester, monohydrochloride (9CI) (CA INDEX NAME)

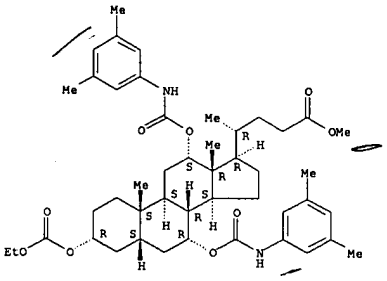
L12 ANSWER 6 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Absolute stereochemistry.



L12 ANSWER 7 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:195445 CAPLUS
DOCUMENT NUMBER: 126:199709
TITLE: Anion Recognition by Tripodal Receptors Derived from Cholic Acid
AUTHOR(S): Davis, Anthony P.; Perry, Justin J.; Williams, Robert P.
CORPORATE SOURCE: Department of Chemistry, Trinity College, Dublin, Ire.
SOURCE: Journal of the American Chemical Society (1997), 119(7), 1793-1794
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Despite intensive interest in anion recognition, there are few effective anionophores which are elec. neutral, lipophilic and essentially org. in nature. A new strategy for designing such receptor involves the preorganization of neutral H-bond donor groups through attachment to a scaffold derived from the inexpensive steroid cholic acid. Examples which have been studied by 1H NMR in CDCl3 are the bis-carbamoylsulfonamide I (R = O2CNHC6H3Me2-3,5) and the tris-sulfonamide I [R = NHSO2C6H4Me-4 (NHTs)]. Receptor I (R = NHTs) shows exceptional affinity for chloride (ka = 9200 .+-. 700 M-1). In contrast, I (R = O2CNHC6H3Me2-3,5) shows no chloride-bromide selectivity (ka = 7200 .+-. 700 M-1 with both anions). Control expts. and NMR data indicate that binding takes place through multiple H-bond donation, whereby the anionic substrates are held against the .alpha.-face of the steroid nucleus.
IT **187730-68-3**
RL: PRP (Properties); RCT (Reactant); RACT (Reactant or reagent)
(anion recognition by tripodal receptors derived from cholic acid)
RN 187730-68-3 CAPLUS
CN Cholan-24-oic acid, 7,12-bis[[[(3,5-dimethylphenyl)amino]carbonyl]oxy]-3-[(ethoxycarbonyl)oxy]-, methyl ester, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

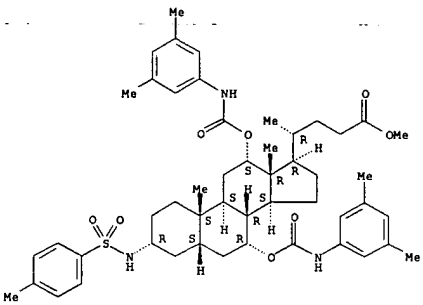
Absolute stereochemistry.



IT **187730-62-7P**
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

L12 ANSWER 7 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(anion recognition by tripodal receptors derived from cholic acid)
RN 187730-62-7 CAPLUS
CN Cholan-24-oic acid, 7,12-bis[[[(3,5-dimethylphenyl)amino]carbonyl]oxy]-3-[[(4-methylphenyl)sulfonyl]amino]-, methyl ester, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



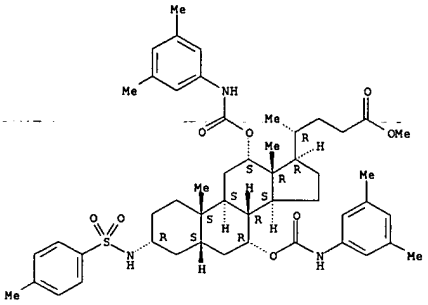
IT **187730-73-0P 187730-75-2P 187730-85-4P**
187730-87-6P 187730-88-7P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(anion recognition by tripodal receptors derived from cholic acid)
RN 187730-73-0 CAPLUS
CN Cholan-24-oic acid, 7,12-bis[[[(3,5-dimethylphenyl)amino]carbonyl]oxy]-3-[[(4-methylphenyl)sulfonyl]amino]-, methyl ester, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-, compd. with N,N,N-tributyl-1-butanaminium fluoride (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 187730-62-7
CMF C50 H67 N3 O8 S

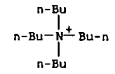
Absolute stereochemistry.

L12 ANSWER 7 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

CRN 429-41-4
CMF C16 H36 N . F



● F⁻

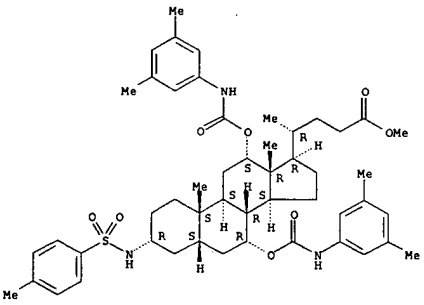
RN 187730-75-2 CAPLUS
CN Cholan-24-oic acid, 7,12-bis[[[(3,5-dimethylphenyl)amino]carbonyl]oxy]-3-[[(4-methylphenyl)sulfonyl]amino]-, methyl ester, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-, compd. with N,N,N-tributyl-1-butanaminium chloride (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 187730-62-7
CMF C50 H67 N3 O8 S

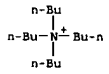
Absolute stereochemistry.

L12 ANSWER 7 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

CRN 1112-67-0
CMF C16 H36 N . Cl



● Cl⁻

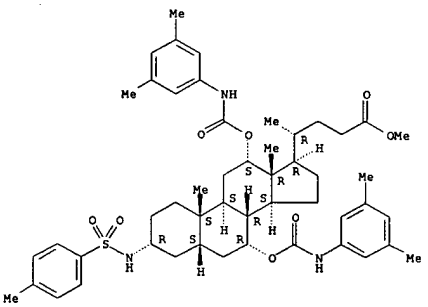
RN 187730-85-4 CAPLUS
CN Cholan-24-oic acid, 7,12-bis[[[(3,5-dimethylphenyl)amino]carbonyl]oxy]-3-[[(4-methylphenyl)sulfonyl]amino]-, methyl ester, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-, compd. with N,N,N-tributyl-1-butanaminium bromide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 187730-62-7
CMF C50 H67 N3 O8 S

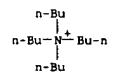
Absolute stereochemistry.

L12 ANSWER 7 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

CRN 1643-19-2
CMF C16 H36 N . Br



● Br⁻

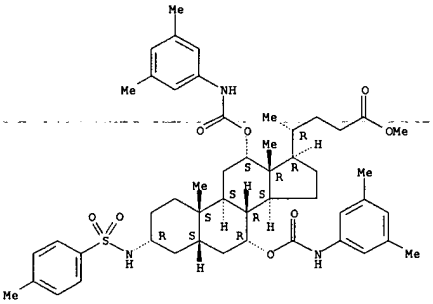
RN 187730-87-6 CAPLUS
CN Cholan-24-oic acid, 7,12-bis[[[(3,5-dimethylphenyl)amino]carbonyl]oxy]-3-[[(4-methylphenyl)sulfonyl]amino]-, methyl ester, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-, compd. with N,N,N-tributyl-1-butanaminium iodide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 187730-62-7
CMF C50 H67 N3 O8 S

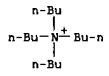
Absolute stereochemistry.

L12 ANSWER 7 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

CRN 311-28-4
CMF C16 H36 N . I



● I-

RN 187730-88-7 CAPLUS
CN Cholan-24-oic acid, 7,12-bis[[[(3,5-dimethylphenyl)amino]carbonyl]oxy]-3-[[(4-methylphenyl)sulfonyl]amino]-, methyl ester, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-, compd. with N,N,N-tributyl-1-butanaminium salt with 4-methylbenzenesulfonic acid (1:1:1) (9CI) (CA INDEX NAME)

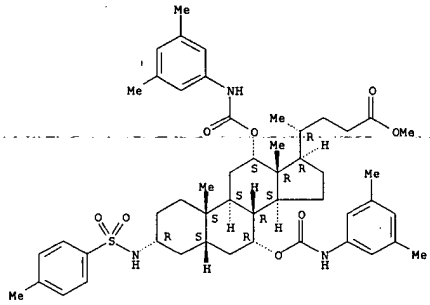
CM 1

CRN 187730-62-7
CMF C50 H67 N3 O8 S

Absolute stereochemistry.

L12 ANSWER 7 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

L12 ANSWER 7 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

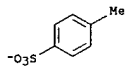


CM 2

CRN 7182-86-7
CMF C16 H36 N . C7 H7 O3 S

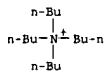
CM 3

CRN 16722-51-3
CMF C7 H7 O3 S



CM 4

CRN 10549-76-5
CMF C16 H36 N



L12 ANSWER 8 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:5476 CAPLUS
DOCUMENT NUMBER: 126:104294
TITLE: Steroids 54. Amino acylamidosteroids
AUTHOR(S): Vincze, Iren; Hackler, Laszlo; Szendi, Szuzsa; Schneider, Gyula
CORPORATE SOURCE: Dep. Org. Chem., Attila Jozsef Univ., Szeged, Hung.
SOURCE: Steroids (1996), 61(12), 697-702
CODEN: STEDAM; ISSN: 0039-128X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Aminosteroids were prepd. and acylated with protected amino acids by means of the mixed anhydride or the active ester method. The tert-butyloxycarbonyl- (BOC) protecting group was eliminated by acidolysis, and the benzyloxycarbonyl- (Z) group by catalytic hydrogenation. 3.beta.- And 6.beta.-Glycylamidosteroids were prepd. by indirect amination of chloroacetamido derivs., formed by the Ritter reaction on the corresponding 3.alpha.,5.alpha.-cyclo and 5.alpha.,6.alpha.-epoxy steroids. Water-sol. double salts were produced from the compds. for pharmacol. investigations.

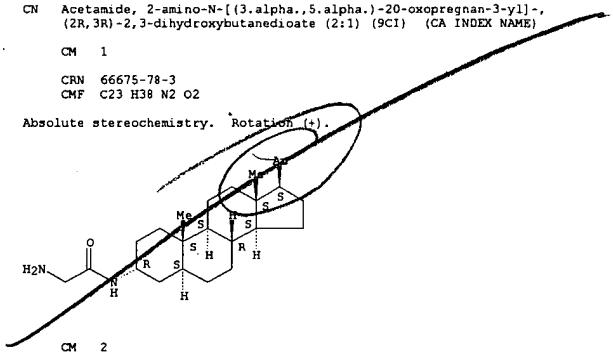
IT **185842-85-7P 185842-86-8P 185842-88-0P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of amino acylamidosteroids)

RN 185842-85-7 CAPLUS
CN Acetamide, 2-amino-N-[(3.alpha.,5.alpha.)-20-oxopregnan-3-yl]-, (2R,3R)-2,3-dihydroxybutanedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 66675-78-3
CMF C23 H38 N2 O2

Absolute stereochemistry. Rotation (+).

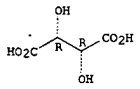


CM 2

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.

L12 ANSWER 8 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

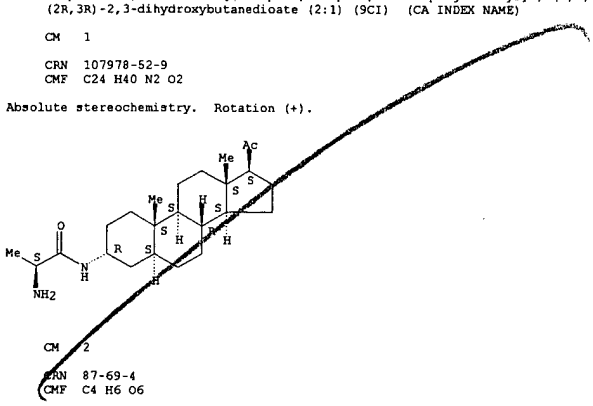


RN 185842-86-8 CAPLUS
CN Propanamide, 2-amino-N-[(3.alpha.,5.alpha.)-20-oxopregnan-3-yl]-, (S)-, (2R,3R)-2,3-dihydroxybutanedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 107978-52-9
CMF C24 H40 N2 O2

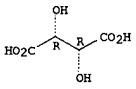
Absolute stereochemistry. Rotation (+).



CM 2

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.



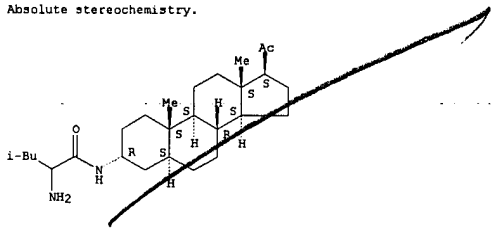
RN 185842-88-0 CAPLUS
CN Pentanamide, 2-amino-4-methyl-N-[(3.alpha.,5.alpha.)-20-oxopregnan-3-yl]-, (2R,3R)-2,3-dihydroxybutanedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

L12 ANSWER 8 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CRN 185842-87-9
CMF C27 H46 N2 O2

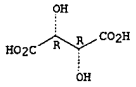
Absolute stereochemistry.



CM 2

CRN 87-69-4
CMF C4 H6 O6

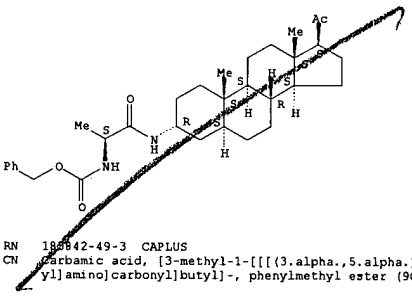
Absolute stereochemistry.



IT **185842-48-2P 185842-49-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of amino acylamidosteroids)
RN 185842-48-2 CAPLUS
CN Carbamic acid, [1-methyl-2-oxo-2-[[(3.alpha.,5.alpha.)-20-oxopregnan-3-yl]amino]ethyl]-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

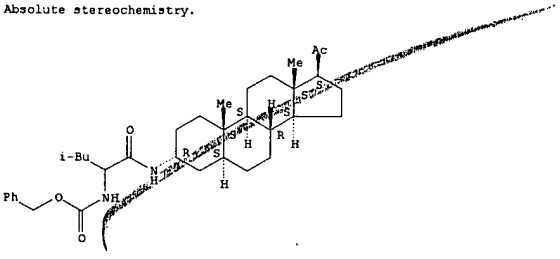
Absolute stereochemistry. Rotation (+).

L12 ANSWER 8 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 185842-49-3 CAPLUS
CN Carbamic acid, [3-methyl-1-[[[(3.alpha.,5.alpha.)-20-oxopregnan-3-yl]amino]carbonyl]butyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

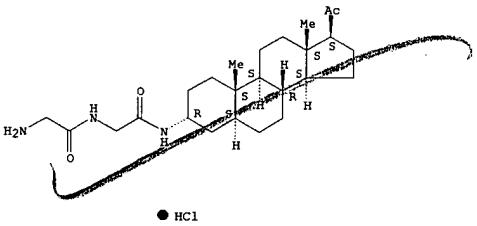
Absolute stereochemistry.



IT **185842-65-3P 185842-67-5P 185842-68-6P**
185842-69-7P 185842-71-1P 185842-96-0P
185843-11-2P 185843-15-6P 185844-59-1P
185844-60-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of amino acylamidosteroids)
RN 185842-65-3 CAPLUS
CN Glycinamide, glycyl-N-[(3.alpha.,5.alpha.)-20-oxopregnan-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

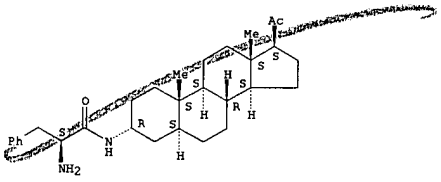
L12 ANSWER 8 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



● HCl

RN 185842-67-5 CAPLUS
CN Benzenepropanamide, .alpha.-amino-N-[(3.alpha.,5.alpha.)-20-oxopregnan-3-yl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

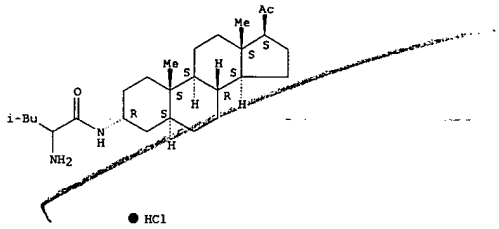


● HCl

RN 185842-68-6 CAPLUS
CN Pentanamide, 2-amino-4-methyl-N-[(3.alpha.,5.alpha.)-20-oxopregnan-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

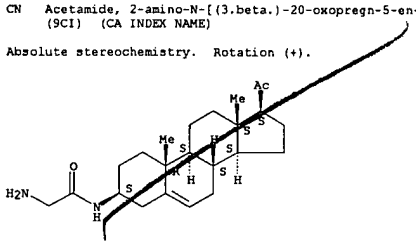
L12 ANSWER 8 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



● HCl

RN 185842-69-7 CAPLUS
CN Acetamide, 2-amino-N-[(3.beta.)-20-oxopregn-5-en-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

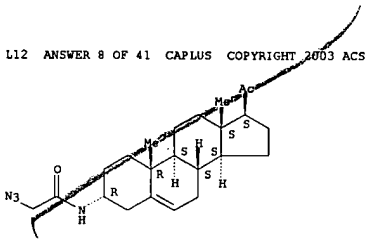


● HCl

RN 185842-71-1 CAPLUS
CN Acetamide, N-[(3.beta.,5.alpha.,6.beta.)-3-(acetyloxy)-5-hydroxy-20-oxopregnan-6-yl]-2-amino-, monohydrochloride (9CI) (CA INDEX NAME)

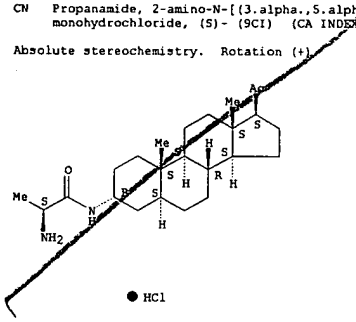
Absolute stereochemistry. Rotation (-).

L12 ANSWER 8 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 185843-15-6 CAPLUS
CN Propanamide, 2-amino-N-[(3.alpha.,5.alpha.)-20-oxopregnan-3-yl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

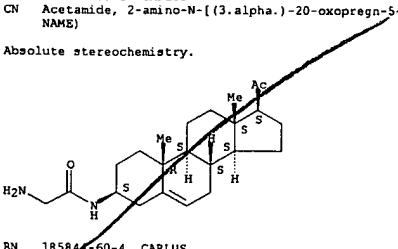
Absolute stereochemistry. Rotation (+).



● HCl

RN 185844-59-1 CAPLUS
CN Acetamide, 2-amino-N-[(3.alpha.)-20-oxopregn-5-en-3-yl]- (9CI) (CA INDEX NAME)

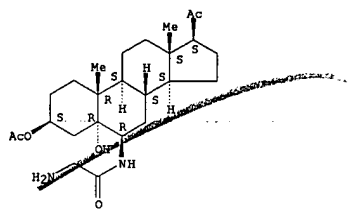
Absolute stereochemistry.



RN 185844-60-4 CAPLUS
CN Acetamide, N-[(3.beta.,5.alpha.,6.beta.)-3-(acetyloxy)-5-hydroxy-20-oxopregnan-6-yl]-2-amino- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

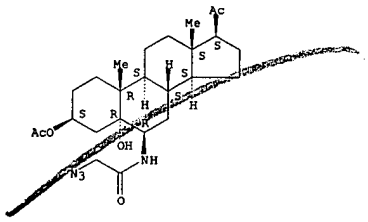
L12 ANSWER 8 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



● HCl

RN 185842-96-0 CAPLUS
CN Acetamide, N-[(3.beta.,5.alpha.,6.beta.)-3-(acetyloxy)-5-hydroxy-20-oxopregnan-6-yl]-2-azido- (9CI) (CA INDEX NAME)

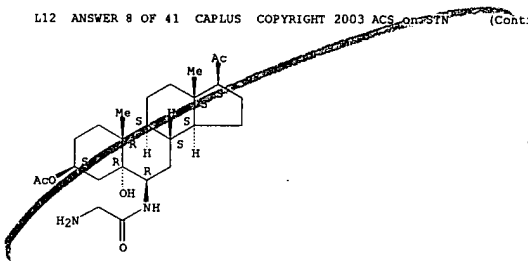
Absolute stereochemistry.



RN 185843-11-2 CAPLUS
CN Acetamide, 2-azido-N-[(3.alpha.)-20-oxopregn-5-en-3-yl]- (9CI) (CA INDEX NAME)

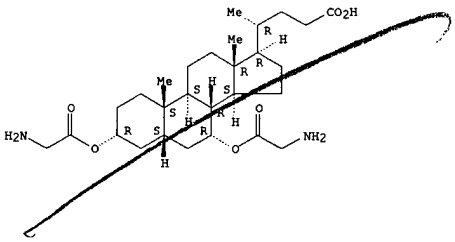
Absolute stereochemistry.

L12 ANSWER 8 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



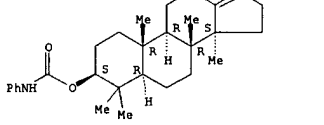
L12 ANSWER 9 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:692070 CAPLUS
DOCUMENT NUMBER: 126:60319
TITLE: Sequence-selective nonmacrocyclic two-armed receptors for peptides
AUTHOR(S): Nestler, H. Peter
CORPORATE SOURCE: Cold Spring Harbor Lab., Cold Springs harbor, NY, 11724, USA
SOURCE: Molecular Diversity (1996), 2(1/2), 35-40
CODEN: MODIF4; ISSN: 1381-1991
PUBLISHER: ESCOM
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Tweezer-like receptor mols. have proven their potential for mol. recognition on several occasions. We decided to make twofold use of this receptor design: firstly to learn whether simple mol. forceps consisting of two peptide chains linked by a spacer are able to selectively bind to small peptides, and secondly to investigated the importance of structural preorganization for the characteristics of the receptors. We prepd. two encoded combinatorial libraries based on this design, featuring two combinatorial tripeptide chains held by different scaffolds: the use of chenodeoxycholic acid as spacer provided a rigid scaffold for the forceps, whereas linking the peptide chains by a pentamethylene chain yielded a very flexible forceps structure. Mols. from the cholic acid library recognize and discriminate various enkephalins with micromolar affinities. Mols. from the flexible library show distinct interactions with the enkephalins as well, but the specificity and affinity are clearly diminished. Thus, although the interactions of mol. forceps with peptides are not crucially dependent on structural preorganization, receptors with a rigid design are clearly superior to flexible mol. forceps.
IT **185215-77-4D**, peptidyl derivs., resin-bound
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(sequence-selective nonmacrocyclic two-armed receptors for peptides)
RN 185215-77-4 CAPLUS
CN Cholan-24-oic acid, 3,7-bis[(aminoacetyl)oxy]-, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 10 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:544204 CAPLUS
DOCUMENT NUMBER: 125:248154
TITLE: A Short Enantioselective Total Synthesis of Dammarenediol II
AUTHOR(S): Corey, E. J.; Lin, Shouzhong
CORPORATE SOURCE: Department of Chemistry, Harvard University, Cambridge, MA, 02138, USA
SOURCE: Journal of the American Chemical Society (1996), 118(36), 8765-8766
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 125:248154
AB Dammarenediol II (I) has been obtained by total synthesis for the first time by the following sequence of reactions. The chiral starting material II (R = OAc, R 1 = OH, R2 = .alpha.-OH) is readily available by a recently developed catalytic enantio- and position-selective dihydroxylation reaction and is readily transformed into epoxyfarnesyl bromide II (R = Br, R1R2 = .beta.-O). Two component coupling of II (R = Br, R1R2 = .beta.-O) and MeOCH2CHMeN:C(SiMe2CMe3)Me produced II (R = CH2COSiMe2CMe3, R1R2 = .beta.-O) which, by a three component coupling with 2-lithiopropene and 2-(2-iodoethyl)-2-methyl-1,3-dithiolane, afforded II [R = CH2C(OSiMe2CMe3):CMe(CH2)3-(2-methyl-1,3-dithiolan-2-yl), R1R2 = .beta.-O] stereospecifically. Cation-olefin cyclization of II [R = CH2C(OSiMe2CMe3):CMe(CH2)3-(2-methyl-1,3-dithiolan-2-yl), R1R2 = .beta.-O] led to III which could be converted to IV by aldol cyclization. Conversion of IV to I was accomplished as shown. The brevity and stereochem. control of this synthesis are noteworthy.
IT **181776-86-3P 181776-88-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(short enantioselective total synthesis of dammarenediol II)
RN 181776-86-3 CAPLUS
CN 18-Norpregn-13(17)-en-20-one, 4,4,8,14-tetramethyl-3-[[(phenylamino)carbonyl]oxy]-, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

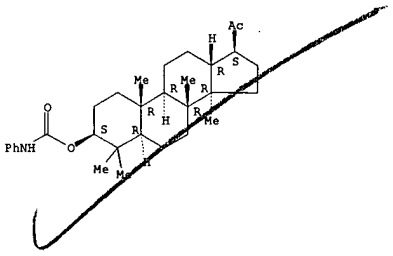
Absolute stereochemistry.



RN 181776-88-5 CAPLUS
CN 18-Norpregnan-20-one, 4,4,8,14-tetramethyl-3-[[(phenylamino)carbonyl]oxy]-, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

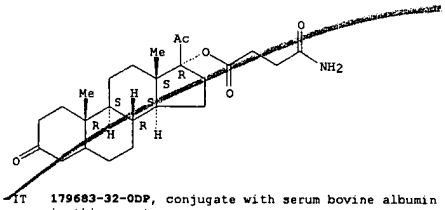
L12 ANSWER 10 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Absolute stereochemistry.



L12 ANSWER 11 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:405139 CAPLUS
DOCUMENT NUMBER: 125:143123
TITLE: Synthesis of 17.alpha.-hydroxyprogesterone succinate bovine serum albumin fluorescein isothiocyanate
AUTHOR(S): Zhong, Zhicheng; Sun, Zhenxian; Li, Xiaoqin
CORPORATE SOURCE: Sch. Pharmacy, West China Univ. Med. Sci., Chengdu, 610041L, Peop. Rep. China
SOURCE: Huaxi Yaoxue Zazhi (1996), 11(2), 81-83
CODEN: HYZAE2; ISSN: 1006-0103
PUBLISHER: Huaxi Yike Daxue Yaoxueyuan
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
AB The assay reagent (17.alpha.-hydroxyl progesterone-hemisuccinyl-BSA-FITC) of ER and PR was synthesized from 17.alpha.-hydroxyprogesterone in three steps: acylation, formation of mix-anhydride, and conjugation to form complex. The method is simple. The complex of the synthetic was identified by spectroscope anal.
IT **179683-32-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of hydroxyprogesterone succinate bovine serum albumin fluorescein isothiocyanate)
RN 179683-32-0 CAPLUS
CN Pregn-4-ene-3,20-dione, 17-(4-amino-1,4-dioxobutoxy)- (9CI) (CA INDEX NAME)

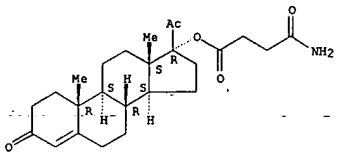
Absolute stereochemistry.



IT **179683-32-0DP**, conjugate with serum bovine albumin and fluorescein isothiocyanate
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of hydroxyprogesterone succinate bovine serum albumin fluorescein isothiocyanate)
RN 179683-32-0 CAPLUS
CN Pregn-4-ene-3,20-dione, 17-(4-amino-1,4-dioxobutoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 11 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L12 ANSWER 12 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1996:398816 CAPLUS
DOCUMENT NUMBER: 125:143118
TITLE: Radioimmunological and chromatographic properties of tyrosine methyl ester conjugates with stereoisomeric steroid carboxy derivatives
AUTHOR(S): Lapcik, Oldrich; Hampl, Richard; Hill, Martin; Starka, Luboslav; Kasal, Alexander; Pouzar, Vladimir; Putz, Zdenek
CORPORATE SOURCE: Inst. Endocrinol., Prague, 116 94, Czech Rep.
SOURCE: Collection of Czechoslovak Chemical Communications (1996), 61(5), 799-807
CODEN: CCCCAK; ISSN: 0010-0765
PUBLISHER: Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic
DOCUMENT TYPE: Journal
LANGUAGE: English

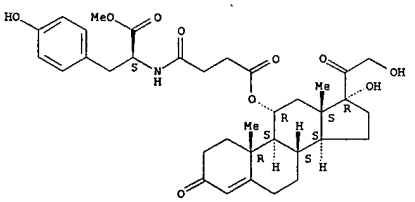
AB Pure 3Z (syn) and 3E (anti) stereoisomers of testosterone 3-[O-(2-carboxyethyl)]oxime were synthesized, sepd. by HPLC or TLC, and used for prepn. of tyrosine Me ester (TME) conjugates by using mixed anhydride or carbodiimide-N-hydroxysuccinimide methods. While the latter method provided more than 96% of product with retained configuration, the mixed anhydride method yielded a mixt. contg. 26-40% of the opposite stereoisomer. The stereoisomers were used as model compds., to which the other steroid TMEs and the corresponding radioiodinated products could be aligned according to their chromatog. properties. The TME conjugates of 3-(O-carboxymethyl)oximes of seven 4-en-3-oxo steroids were further prepd. by carbodiimide-N-hydroxysuccinimide method. With exception of cortisol, the stereoisomeric (Z and E) radioiodinated TME conjugates could be sepd. by TLC. In addn., the conjugates with TME and their radioiodinated tracers were synthesized from hemisuccinates of cortisol and its 11.alpha.-isomer, via 11.beta.- and 11.alpha.-hydroxy group. The radioiodinated conjugates were tested as radioligands with rabbit polyclonal antisera raised by using position-homologous conjugates of the resp. steroid carboxy derivs. with bovine serum albumin as immunogens. With the exception of 11-deoxycorticosterone, the stereoisomeric Z and E radioiodinated TMEs did not differ in their binding properties. In the case of isomeric cortisol tracers conjugated through position 11 the antisera recognized only the sterically homologous radioligands, but the specificity of the system was poor.

IT **179532-27-5P 179532-28-6P 179532-29-7P 179532-30-0P**
RL: PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(prepn., radioimmunol. and chromatog. properties of tyrosine Me ester conjugates with stereoisomeric steroid carboxy derivs.)

RN 179532-27-5 CAPLUS
CN L-Tyrosine, N-[4-[[(11.alpha.)-17,21-dihydroxy-3,20-dioxopregn-4-en-11-yl]oxy]-1,4-dioxobutyl]-, methyl ester (9CI) (CA INDEX NAME)

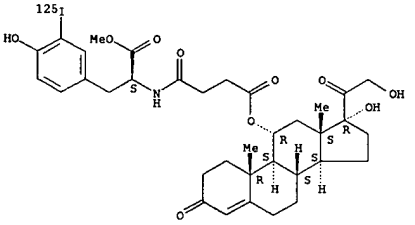
Absolute stereochemistry.

L12 ANSWER 12 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 179532-28-6 CAPLUS
CN L-Tyrosine, N-[4-[[(11.alpha.)-17,21-dihydroxy-3,20-dioxopregn-4-en-11-yl]oxy]-1,4-dioxobutyl]-3-(iodo-125I)-, methyl ester (9CI) (CA INDEX NAME)

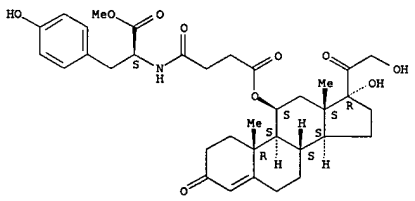
Absolute stereochemistry.



RN 179532-29-7 CAPLUS
CN L-Tyrosine, N-[4-[[(11.beta.)-17,21-dihydroxy-3,20-dioxopregn-4-en-11-yl]oxy]-1,4-dioxobutyl]-, methyl ester (9CI) (CA INDEX NAME)

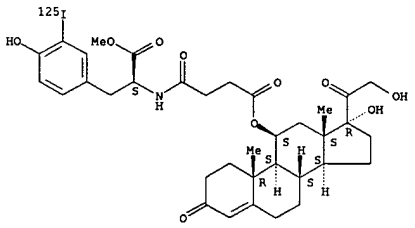
Absolute stereochemistry.

L12 ANSWER 12 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 179532-30-0 CAPLUS
CN L-Tyrosine, N-[4-[[(11.beta.)-17,21-dihydroxy-3,20-dioxopregn-4-en-11-yl]oxy]-1,4-dioxobutyl]-3-(iodo-125I)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



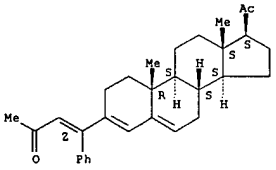
L12 ANSWER 13 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:309980 CAPLUS
DOCUMENT NUMBER: 125:33944
TITLE: The palladium-catalyzed vinylic substitution of vinyl triflates with .beta.-substituted-.alpha.,.beta.-unsaturated carbonyl compounds. An application to the synthesis of cardenolides
AUTHOR(S): Arcadi, Antonio; Cacchi, Sandro; Fabrizi, Giancarlo; Marinelli, Fabio; Pace, Paola
CORPORATE SOURCE: Dip. Chim., Univ. degli Studi, L'Aquila, I-67100, Italy
SOURCE: Tetrahedron (1996), 52(20), 6983-6996
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 125:33944

AB Vinyl triflates react with .beta.-substituted-.alpha.,.beta.-unsatd. aldehydes, ketones, and esters in the presence of catalytic amts. of Pd(OAc)2 and an excess of KOAc, omitting phosphine ligands, to give vinylic substitution products in good to high yield with high regioselectivity. The added vinyl unit is preferentially linked to the .beta.-carbon atom. As to the stereochem., vinylic substitution products contain the carbonyl group on the same side of the preexisting .beta.-substituent. The use of KOAc has been proved to be superior both to tertiary amines and to carbonate or bicarbonate bases with or without the addn. of salts such as LiCl and n-Bu4NCl. The application of the reaction to the synthesis of a cardenolide deriv. is reported. Depending on the nature of .beta.-substituted-.alpha.,.beta.-unsatd. carbonyl compds., the reaction can produce hydrovinylation (formal conjugated addn.) products.

IT **177411-19-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(palladium-catalyzed vinylic substitution of vinyl triflates with .beta.-substituted-.alpha.,.beta.-unsatd. carbonyl compds.)

RN 177411-19-7 CAPLUS
CN Pregna-3,5-dien-20-one, 3-(3-oxo-1-phenyl-1-butenyl)-, [3(Z)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L12 ANSWER 13 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

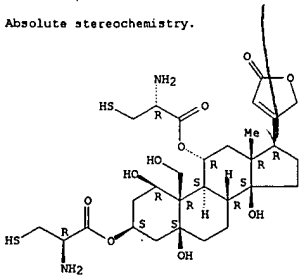
L12 ANSWER 14 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:224926 CAPLUS
DOCUMENT NUMBER: 124:311288
TITLE: Technetium-99m radiolabeled ouabagenin-cysteine conjugate: Biological evaluation in animal models
AUTHOR(S): Chatterjee, Mita; Ganguly, Shantanu; Sarkar, Bharat R.; Banerjee, Somenath
CORPORATE SOURCE: NUCLEAR MEDICINE DIVISION, INDIAN INSTITUTE CHEMICAL BIOLOGY, Calcutta, 700 032, India
SOURCE: Nuclear Medicine and Biology (1996), 23(2), 115-20
CODEN: NMBIEO; ISSN: 0883-2897
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Two ouabagenin-cysteine conjugates were synthesized by condensing 3-.beta. monochloroacetyl and 3-.beta., 11-.alpha. dichloroacetyl ouabagenin with cysteine. The resulting ligands were radiolabeled with technetium-99m (99mTc) to furnish a single homogeneous 99mTc chelate in each case with good stability. The animal expts. with these 99mTc-labeled conjugates established the superiority of guinea pig over rat and rabbit as an animal model, as previously obsd. for other tritiated or radioiodinated cardiac glycosides or aglycons. In biodistribution expts. in guinea pig, these 99mTc chelates showed a favorable heart to liver (and other nontarget organ) uptake ratio, comparable to that of recently reported 125I-digoxigenin iodohistamine-3-oxime. The low heart to blood ratio in animal expts. with ouabagenin derivs. could be attributed to the absence of 3-.beta. sugar residues in these mols., which is in agreement with the previous observation reported in connection with radioiodinated digoxin and digoxigenin derivs.

IT **176223-45-3DP**, technetium-99 conjugates
RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(technetium-99m-ouabagenin-cysteine conjugate biodistribution in animal models for potential heart scintigraphy)

RN 176223-45-3 CAPLUS
CN Card-20(22)-enolide, 3,11-bis(2-amino-3-mercapto-1-oxopropoxy)-1,5,14,19-tetrahydroxy-, [1.beta.,3.beta.(R),5.beta.,11.alpha.(R)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

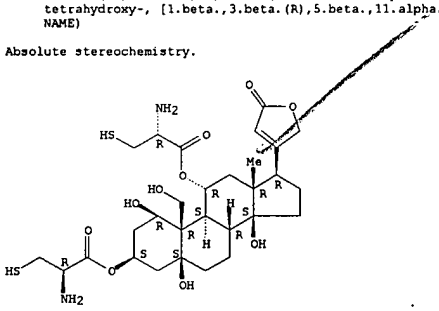


IT **176223-45-3P**

L12 ANSWER 14 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(technetium-99m-ouabagenin-cysteine conjugate biodistribution in animal models for potential heart scintigraphy)

RN 176223-45-3 CAPLUS
CN Card-20(22)-enolide, 3,11-bis(2-amino-3-mercapto-1-oxopropoxy)-1,5,14,19-tetrahydroxy-, [1.beta.,3.beta.(R),5.beta.,11.alpha.(R)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



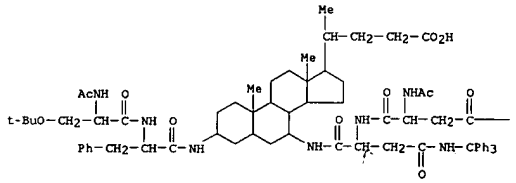
L12 ANSWER 15 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:79303 CAPLUS
DOCUMENT NUMBER: 124:165456
TITLE: Sequence-Selective Peptide Binding with a Peptido-A,B-trans-steroidal Receptor Selected from an Encoded Combinatorial Receptor Library
AUTHOR(S): Cheng, Yuan; Suenaga, Toshiro; Still, W. Clark
CORPORATE SOURCE: Department of Chemistry, Columbia University, New York, NY, 10027, USA
SOURCE: Journal of the American Chemical Society (1996), 118(7), 1813-14
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Encoded combinatorial split synthesis was used to prepd. a polymer-supported library consisting of 104 different peptidosteroids (I). Related compds. are known to bind certain oligopeptides sequence selectively. The new peptidosteroids have an altered A,B-ring fusion and are less flexible than those previously described. Screening the library I for binding of a dye-labeled pentapeptide (5-Leu-enkephalin Me ester (II)) showed significantly improved binding selectivity. Peptidosteroids I (V2 = (L)Asn(N-trityl)-(D-)Asn(N-trityl)-Ac, V1 = (D)Phe-X-Ac) that bound II most strongly showed significantly weaker binding with many 5-Leu enkephalin derivs. in which single amino acids were changed from the natural sequence.

IT **173738-17-5D**, resin bound
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(peptido-A,B-trans-steroid combinatorial receptor library sequence-selective enkephalin binding)

RN 173738-17-5 CAPLUS
CN Cholan-24-oic acid, 3-[[N-[N-acetyl-O-(1,1-dimethylethyl)-L-seryl]-D-phenylalanyl]amino]-7-[[N2-[N2-acetyl-N-(triphenylmethyl)-D-asparaginyl]-N-(triphenylmethyl)-L-asparaginyl]amino]-, (3.alpha.,5.alpha.,7.alpha.)- (9CI) (CA INDEX NAME)

PAGE 1-A



L12 ANSWER 15 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-B

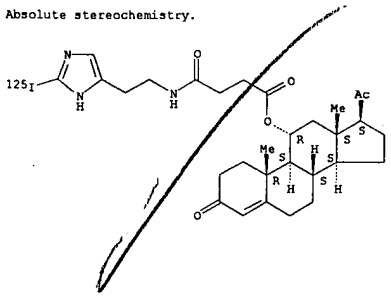
L12 ANSWER 16 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:8289 CAPLUS
DOCUMENT NUMBER: 124:76653
TITLE: Serum binding of steroid tracers and its possible effects on direct steroid immunoassay
AUTHOR(S): Micallef, Jacob V.; Hayes, Margaret M.; Latif, Abdul; Ahsan, Rukhsana; Sufi, Saulat B.
CORPORATE SOURCE: World Health Organization Collaborating Centre Research Immunoassay, Hammersmith Hospital, London, W12 OHS, UK
SOURCE: Annals of Clinical Biochemistry (1995), 32(6), 566-74
CODEN: ACBOBU; ISSN: 0004-5632
PUBLISHER: Royal Society of Medicine Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The authors studied the serum protein binding of 3H-labeled progesterone, estradiol and testosterone, and five 125I-labeled analogs of these steroids. All tracers investigated appeared to be bound by proteins in every serum sample tested. The addn. of blocking agents caused a substantial redn. in serum protein binding of 3H-labeled steroids, but had relatively little effect on the binding of analog steroid tracers. Use of analog steroid tracers in conventional direct immunoassays for estradiol and progesterone produced anomalous results for some patient samples when compared to extn. RIAs, but assays where tracer binding to serum constituents was prevented by adoption of two-step procedures appeared to avoid anomalous results. The results suggest that serum protein binding of steroid analog tracers may be a source of interference in some direct steroid immunoassays.

IT **172302-99-7**
RL: ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)
(serum binding of steroid tracers and its possible effects on direct steroid immunoassay)

RN 172302-99-7 CAPLUS
CN Pregn-4-ene-3,20-dione, 11-[4-[[2-[2-(iodo-125I)-1H-imidazol-4-yl]ethyl]amino]-1,4-dioxobutoxy]-, (11.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 17 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:652248 CAPLUS
DOCUMENT NUMBER: 123:55427
TITLE: Preparation of optically active cyclohexane derivatives and other optically active organic compounds
INVENTOR(S): Okazaki, Masaki; Uchino, Nobuhiko; Matsuo, Yasushi
PATENT ASSIGNEE(S): Fuji Photo Film Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 20 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06263704	A2	19940920	JP 1993-52392	19930312
PRIORITY APPLN. INFO.:			JP 1993-52392	19930312

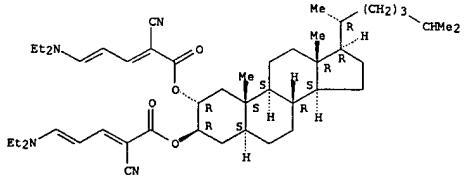
OTHER SOURCE(S): MARPAT 123:55427

AB The title compds. with chromophores R1R2NCH:CHCH:CXY [R1, R2 = H, alkyl, etc.; R1 and R2 may together form a ring; X, Y = electron-attracting group] are prepd. Cyclohexane deriv. I (prepn. given) showed [.alpha.]397 = +25000.degree. and .lambda.max = 364 nm.

IT **164386-76-9 164386-78-1 164386-82-7**
RL: PRP (Properties)
(prepn. of optically active cyclohexane derivs. and other optically active org. compds.)

RN 164386-76-9 CAPLUS
CN Cholestane-2,3-diol, bis[2-cyano-5-(diethylamino)-2,4-pentadienoate], (2.alpha.,3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

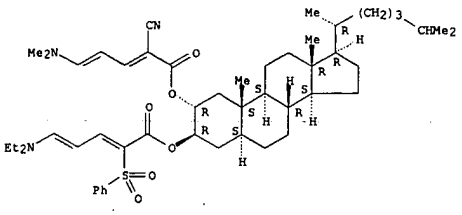
Absolute stereochemistry.
Double bond geometry unknown.



RN 164386-78-1 CAPLUS
CN Cholestane-2,3-diol, 2-[2-cyano-5-(dimethylamino)-2,4-pentadienoate] 3-[5-(diethylamino)-2-(phenylsulfonyl)-2,4-pentadienoate], (2.alpha.,3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

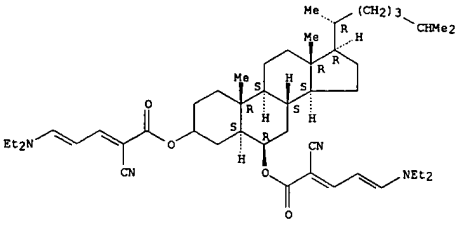
Absolute stereochemistry.
Double bond geometry unknown.

L12 ANSWER 17 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

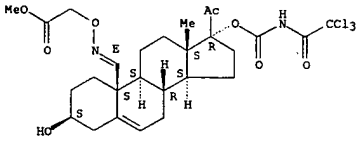


RN 164386-82-7 CAPLUS
CN Cholestane-3,6-diol, bis[2-cyano-5-(diethylamino)-2,4-pentadienoate], (5.alpha.,6.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

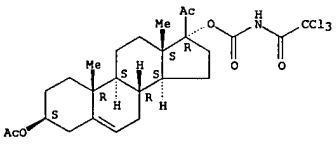


L12 ANSWER 18 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



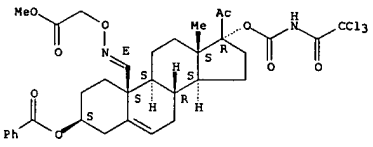
RN 161579-86-8 CAPLUS
CN Pregn-5-en-20-one, 3-(acetyloxy)-17-[[[(trichloroacetyl)amino]carbonyl]oxy]-, (3.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 18 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:271538 CAPLUS
DOCUMENT NUMBER: 122:187861
TITLE: Synthesis of (19E)-3.beta.,17-dihydroxy-20-oxopregn-5-en-19-al 19-(O-carboxymethyl)oxime, new steroidal hapten for 17-hydroxypregnenolone
AUTHOR(S): Pouzar, Vladimir; Fajkos, Jan
CORPORATE SOURCE: Inst. Org. Chem. Biochem., Acad. Sci. Czech Republic, Prague, Czech Rep.
SOURCE: Steroids (1994), 59(12), 696-701
CODEN: STEDAM; ISSN: 0039-128X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB (19E)-3.beta.,17-dihydroxy-20-oxopregn-5-en-19-al 19-(O-carboxymethyl)oxime was prepd. from 5-bromo-6.beta.,19-epoxy-20-oxo-5.alpha.-pregnan-3.beta.-yl benzoate in 12 steps.
IT **161579-84-6P 161579-85-7P 161579-86-8P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(synthesis of (19E)-3.beta.,17-dihydroxy-20-oxopregn-5-en-19-al 19-(O-carboxymethyl)oxime, new steroidal hapten for 17-hydroxypregnenolone)
RN 161579-84-6 CAPLUS
CN Acetic acid, [[[(3.beta.,19E)-3-(benzoyloxy)-20-oxo-17-[[[(trichloroacetyl)amino]carbonyl]oxy]pregn-5-en-19-ylidene]amino]oxy]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

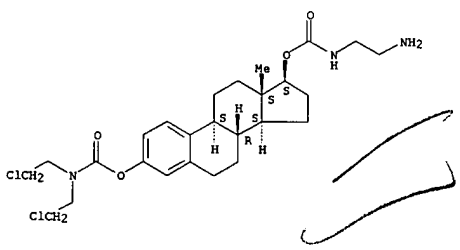


RN 161579-85-7 CAPLUS
CN Acetic acid, [[[(3.beta.,19E)-3-hydroxy-20-oxo-17-[[[(trichloroacetyl)amino]carbonyl]oxy]pregn-5-en-19-ylidene]amino]oxy]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L12 ANSWER 19 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:237598 CAPLUS
DOCUMENT NUMBER: 122:23370
TITLE: Interaction of an estramustine photoaffinity analog with cytoskeletal proteins in prostate carcinoma cells
AUTHOR(S): Speicher, Lisa A.; Laing, Naomi; Barone, Linda R.; Robbins, Joan D.; Seamon, Kenneth B.; Tew, Kenenth D.
CORPORATE SOURCE: Dep. Pharmacology, Fox Chase Cancer Center, Philadelphia, PA, 19111, USA
SOURCE: Molecular Pharmacology (1994), 46(5), 866-72
CODEN: MOPMA3; ISSN: 0026-895X
PUBLISHER: Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English
AB To identify specific drug targets of the antimitotic drug estramustine, a photoaffinity analog, 17-O-[[2-[3-(4-azido-3-[125I]iodophenyl)propionamido]ethyl]carbamyl]estradiol-3-N-bis(2-chloroethyl)carbamate (I) was synthesized and reacted in competition assays with cytoskeletal protein prepns. By attaching the photoaffinity ligand to the 17.beta.-position of the steroid D-ring, the cytotoxic properties of the drug were maintained. In cytoskeletal protein prepns. from human prostate carcinoma cells (DU 145) or a clonally selected, estramustine-resistant cell line (E4), the major microtubule-assocd. protein (MAP) present was MAP4. In both cytoskeletal fractions and reconstituted microtubules, I bound to both MAP4 and tubulin. From competition assays, the apparent binding const. for MAP4 from DU 145 cells was 15 .mu.M. Similar calcns. for tubulin gave values of 13 .mu.M (E4 cells). The identification of these cytoskeletal proteins as specific drug targets provides a direct explanation for the antimicrotubule and antimitotic effects of estramustine.
IT **159899-38-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in prepn. of estramustine photoaffinity analog)
RN 159899-38-4 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol (17.beta.)-, 17-[(2-aminoethyl)carbamate] 3-[bis(2-chloroethyl)carbamate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

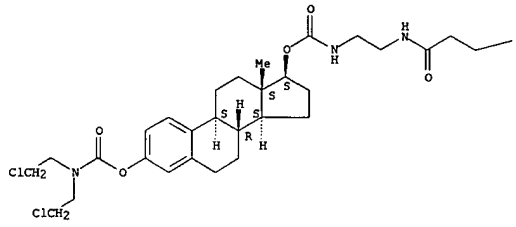


IT **159899-37-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

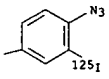
L12 ANSWER 19 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(interaction of estramustine photoaffinity analog with cytoskeletal proteins in prostate carcinoma cells)
RN 159899-37-3 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol (17.beta.)-, 17-[[2-[[3-[4-azido-3-(iodo-125I)phenyl]-1-oxopropyl]amino]ethyl]carbamate] 3-[bis(2-chloroethyl)carbamate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

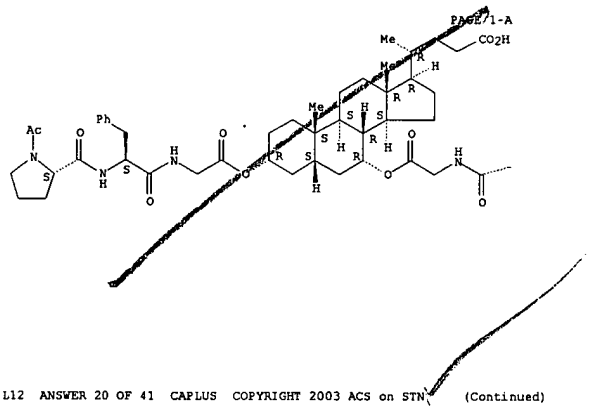


PAGE 1-B



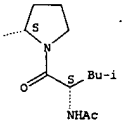
L12 ANSWER 20 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:45102 CAPLUS
DOCUMENT NUMBER: 122:188108
TITLE: Peptidosteroidal Receptors for Opioid Peptides. Sequence-Selective Binding Using a Synthetic Receptor Library
AUTHOR(S): Boyce, Rustum; Li, Ge; Nestler, H. Peter; Suenaga, Toshiro; Still, W. Clark
CORPORATE SOURCE: Department of Chemistry, Columbia University, New York, NY, 10027, USA
SOURCE: Journal of the American Chemical Society (1994), 116(17), 7955-6
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Peptidosteroids I (PS = polystyrene; V1 = Ac-AA1-AA2-Gly and V2 = Ac-AA3-AA4 where AA = amino acid residues) were prepd. in 104 different forms by encoded combinational chem. Using a series of enkephalin-like opioid peptides as substrates, different substrates preferentially bind different members of the above peptidosteroid receptor library.
IT **161419-34-7DP**, aminomethyl polystyrene resin-bound
161419-35-8DP, aminomethyl polystyrene resin-bound
161419-36-9DP, aminomethyl polystyrene resin-bound
161419-37-0DP, aminomethyl polystyrene resin-bound
161419-38-1DP, aminomethyl polystyrene resin-bound
161419-39-2DP, aminomethyl polystyrene resin-bound
RL: PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)
(sequence-selective binding for opioid peptides using a synthetic peptidosteroidal receptor library)
RN 161419-34-7 CAPLUS
CN Cholan-24-oic acid, 3,7-dihydroxy-, 7-ester with N-[1-(N-acetyl-L-leucyl)-L-prolyl]glycine, 3-ester with N-[N-(1-acetyl-L-prolyl)-L-phenylalanyl]glycine, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 20 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

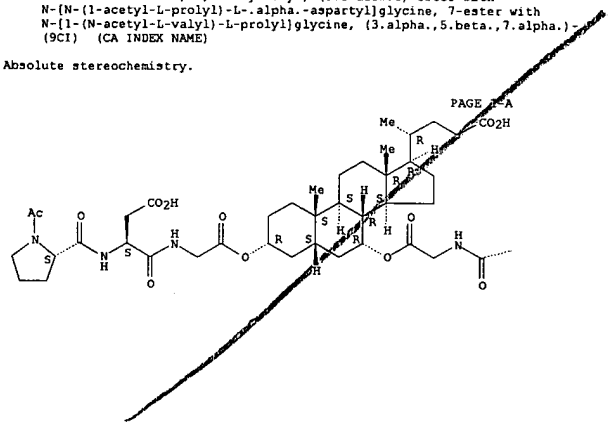
PAGE 1-B

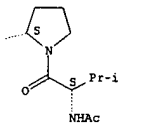


RN 161419-35-8 CAPLUS
CN Cholan-24-oic acid, 3,7-dihydroxy-, (3.fwdarw.1)-ester with N-[N-(1-acetyl-L-prolyl)-L-.alpha.-aspartyl]glycine, 7-ester with N-[1-(N-acetyl-L-valyl)-L-prolyl]glycine, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

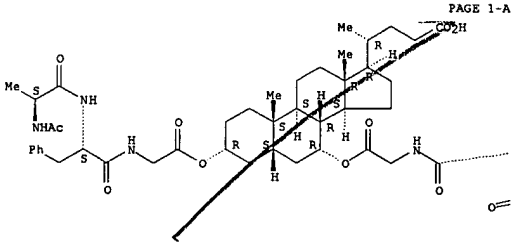




RN 161419-36-9 CAPLUS
CN Cholan-24-oic acid, 3,7-dihydroxy-, 3-ester with N-[N-(N-acetyl-L-alanyl)-L-phenylalanyl]glycine, 7-ester with N-[1-(N-acetyl-L-valyl)-L-prolyl]glycine, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

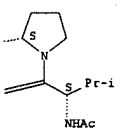
Absolute stereochemistry.

PAGE 1-A



L12 ANSWER 20 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

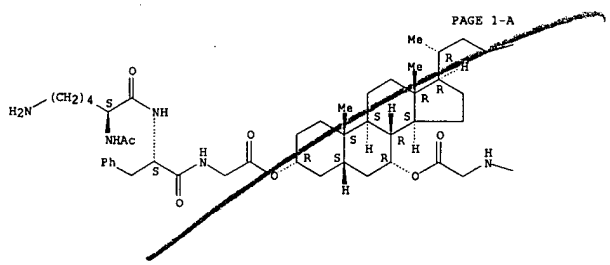
PAGE 1-B



RN 161419-37-0 CAPLUS
CN Cholan-24-oic acid, 3,7-dihydroxy-, 3-ester with N-[N-(N2-acetyl-L-lysyl)-L-phenylalanyl]glycine, 7-ester with N-[N-(1-acetyl-L-prolyl)-L-phenylalanyl]glycine, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

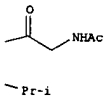
Absolute stereochemistry.

PAGE 1-A



L12 ANSWER 20 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

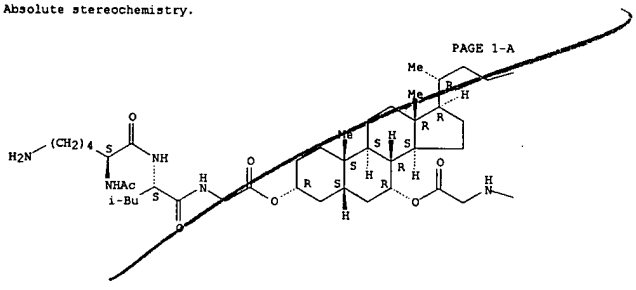
PAGE 1-B



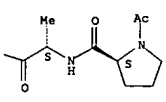
RN 161419-39-2 CAPLUS
CN Cholan-24-oic acid, 3,7-dihydroxy-, 3-ester with N-[N-(N2-acetyl-L-lysyl)-L-leucyl]glycine, 7-ester with N-[N-(1-acetyl-L-prolyl)-L-alanyl]glycine, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

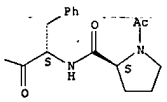


PAGE 1-B



L12 ANSWER 20 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

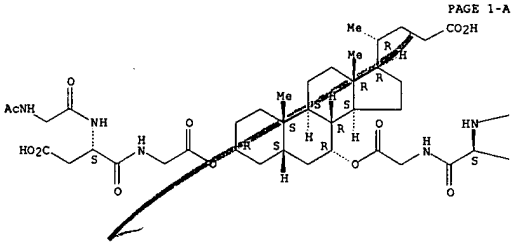
PAGE 1-B



RN 161419-38-1 CAPLUS
CN Cholan-24-oic acid, 3,7-dihydroxy-, (3.fwdarw.1)-ester with N-[N-(N-acetylglycyl)-L-.alpha.-aspartyl]glycine, 7-ester with N-[N-(N-acetylglycyl)-L-valyl]glycine, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



L12 ANSWER 21 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1994:701151 CAPLUS
DOCUMENT NUMBER: 121:301151
TITLE: Preparation of (hetero)arylandrostane derivatives as cardiovascular agents.
INVENTOR(S): Gobbini, Mauro; Ferrandi, Mara; Frigerio, Marco; Melloni, Piero; Torri, Marco; Valentino, Loredana
PATENT ASSIGNEE(S): Sigma-Tau Industrie Farmaceutiche Riunite S.p.A., Italy
SOURCE: Ger. Offen., 19 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4232656	A1	19940331	DE 1992-4232656	19920929
DE 4232656	C2	19950112		
EP 590489	A2	19940406	EP 1993-115247	19930922
EP 590489	A3	19940629		
EP 590489	B1	19961211		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 146184	E	19961215	AT 1993-115247	19930922
ES 2095542	T3	19970216	ES 1993-115247	19930922
JP 06211893	A2	19940802	JP 1993-243360	19930929
US 5521167	A	19960528	US 1993-128128	19930929

PRIORITY APPLN. INFO.: DE 1992-4232656 19920929
OTHER SOURCE(S): MARPAT 121:301151

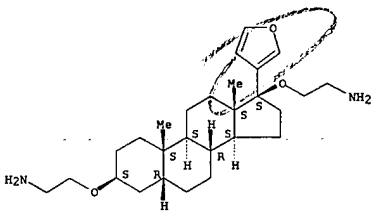
AB Title compds. [I; dotted lines indicate single or double bonds; X = O, S; R = substituted alkyl, alkenyl; R1 = (substituted) aryl, mono- or biheterocyclyl; R2 = H, Me, (substituted) alkyl, alkenyl], were prepd. Thus, 17.alpha.-(3-furyl)-5.beta.-androstan-3.beta.,17.beta.-diol was condensed with 1-(2-chloroethyl)pyrrolidine using NaH in THF to give 3.beta.-[2-(1-pyrrolidinyl)ethoxy]-17.alpha.-(3-furyl)-5.beta.-androstan-17.beta.-ol. I at 20 mg/kg orally in spontaneously hypertensive rats reduced systolic blood pressure from 171 mm Hg (controls) to 148-153 mm Hg.

IT **159078-99-6P 159079-08-0P 159079-17-1P**
159079-26-2P 159079-35-3P 159079-44-4P
159079-53-5P 159079-62-6P 159079-71-7P
159079-80-8P 159079-89-7P 159079-98-8P
159080-07-6P 159080-16-7P 159080-25-8P
159080-34-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as cardiovascular agent)

RN 159078-99-6 CAPLUS
CN Ethanamine, 2,2'-[[(3.beta.,5.beta.,17.alpha.)-21,23-epoxy-24-norchola-20,22-diene-3,17-diyl]bis(oxy)]bis- (9CI) (CA INDEX NAME)

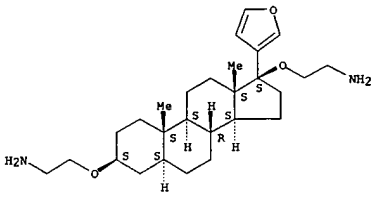
Absolute stereochemistry.

L12 ANSWER 21 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 159079-08-0 CAPLUS
CN Ethanamine, 2,2'-[[(3.beta.,5.alpha.,17.alpha.)-21,23-epoxy-24-norchola-20,22-diene-3,17-diyl]bis(oxy)]bis- (9CI) (CA INDEX NAME)

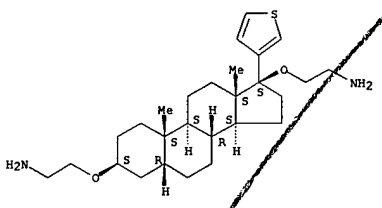
Absolute stereochemistry.



RN 159079-17-1 CAPLUS
CN Ethanamine, 2,2'-[[(3.beta.,17.alpha.)-21,23-epoxy-24-norchola-4,20,22-triene-3,17-diyl]bis(oxy)]bis- (9CI) (CA INDEX NAME)

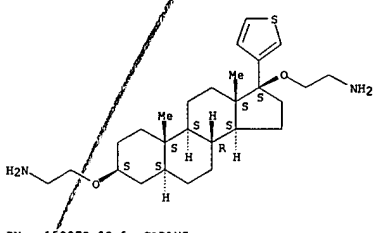
Absolute stereochemistry.

L12 ANSWER 21 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



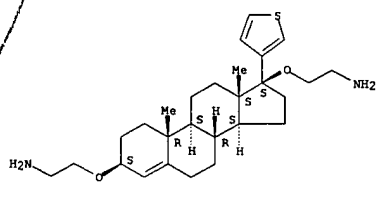
RN 159079-44-4 CAPLUS
CN Ethanamine, 2,2'-[[(3.beta.,5.alpha.,17.alpha.)-21,23-epithio-24-norchola-20,22-diene-3,17-diyl]bis(oxy)]bis- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

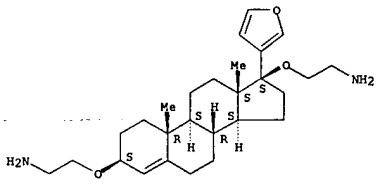


RN 159079-53-5 CAPLUS
CN Ethanamine, 2,2'-[[(3.beta.,17.alpha.)-21,23-epithio-24-norchola-4,20,22-triene-3,17-diyl]bis(oxy)]bis- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

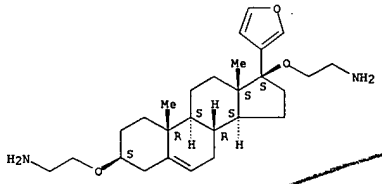


L12 ANSWER 21 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 159079-26-2 CAPLUS
CN Ethanamine, 2,2'-[[(3.beta.,17.alpha.)-21,23-epoxy-24-norchola-5,20,22-triene-3,17-diyl]bis(oxy)]bis- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



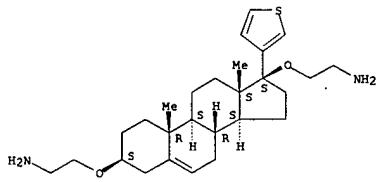
RN 159079-35-3 CAPLUS
CN Ethanamine, 2,2'-[[(3.beta.,5.beta.,17.alpha.)-21,23-epithio-24-norchola-20,22-diene-3,17-diyl]bis(oxy)]bis- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 21 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

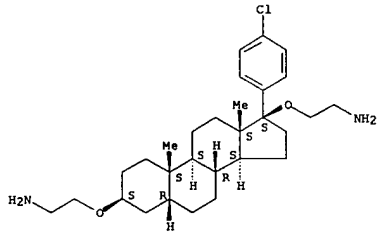
RN 159079-62-6 CAPLUS
CN Ethanamine, 2,2'-[[(3.beta.,17.alpha.)-21,23-epithio-24-norchola-5,20,22-triene-3,17-diyl]bis(oxy)]bis- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159079-71-7 CAPLUS
CN Ethanamine, 2,2'-[[(3.beta.,5.beta.,17.beta.)-17-(4-chlorophenyl)androstane-3,17-diyl]bis(oxy)]bis- (9CI) (CA INDEX NAME)

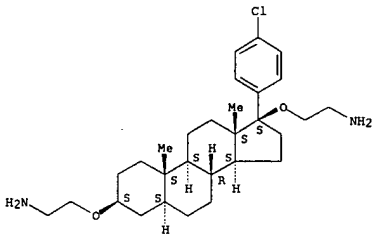
Absolute stereochemistry.



RN 159079-80-8 CAPLUS
CN Ethanamine, 2,2'-[[(3.beta.,5.alpha.,17.beta.)-17-(4-chlorophenyl)androstane-3,17-diyl]bis(oxy)]bis- (9CI) (CA INDEX NAME)

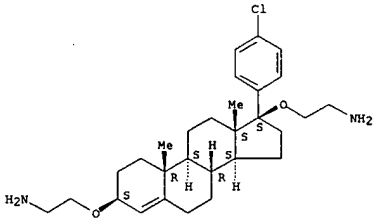
Absolute stereochemistry.

L12 ANSWER 21 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 159079-89-7 CAPLUS
CN Ethanamine, 2,2'-[[(3.beta.,17.beta.)-17-(4-chlorophenyl)androst-4-ene-3,17-diyl]bis(oxy)]bis- (9CI) (CA INDEX NAME)

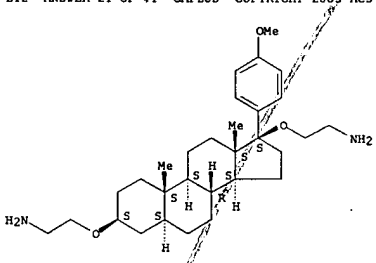
Absolute stereochemistry.



RN 159079-98-8 CAPLUS
CN Ethanamine, 2,2'-[[(3.beta.,17.beta.)-17-(4-chlorophenyl)androst-5-ene-3,17-diyl]bis(oxy)]bis- (9CI) (CA INDEX NAME)

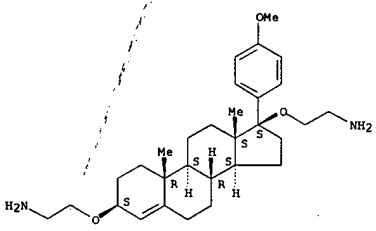
Absolute stereochemistry.

L12 ANSWER 21 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 159080-25-8 CAPLUS
CN Ethanamine, 2,2'-[[(3.beta.,17.beta.)-17-(4-methoxyphenyl)androst-4-ene-3,17-diyl]bis(oxy)]bis- (9CI) (CA INDEX NAME)

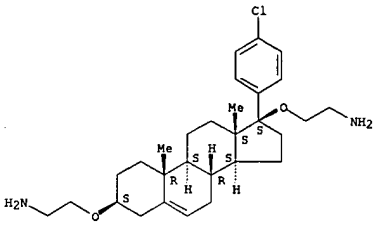
Absolute stereochemistry.



RN 159080-34-9 CAPLUS
CN Ethanamine, 2,2'-[[(3.beta.,17.beta.)-17-(4-methoxyphenyl)androst-5-ene-3,17-diyl]bis(oxy)]bis- (9CI) (CA INDEX NAME)

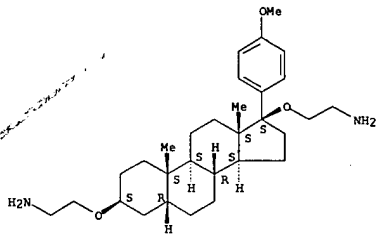
Absolute stereochemistry.

L12 ANSWER 21 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 159080-07-6 CAPLUS
CN Ethanamine, 2,2'-[[(3.beta.,5.beta.,17.beta.)-17-(4-methoxyphenyl)androstane-3,17-diyl]bis(oxy)]bis- (9CI) (CA INDEX NAME)

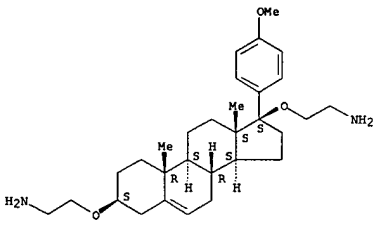
Absolute stereochemistry.



RN 159080-16-7 CAPLUS
CN Ethanamine, 2,2'-[[(3.beta.,5.alpha.,17.beta.)-17-(4-methoxyphenyl)androstane-3,17-diyl]bis(oxy)]bis- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 21 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L12 ANSWER 22 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1994:680958 CAPLUS
DOCUMENT NUMBER: 121:280958
TITLE: Piperido[4',3':16,17]-4-aza-5.alpha.-androstan-3-one derivatives, process for their preparation, and use as testosterone 5.alpha.-reductase inhibitors
INVENTOR(S): Panzeri, Achille; Nesi, Marcella; Di Salle, Enrico
PATENT ASSIGNEE(S): Farmitalia Carlo Erba S.r.l., Italy
SOURCE: Brit. UK Pat. Appl., 61 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2273096	A1	19940608	GB 1992-25235	19921202
GB 2273096	B2	19960605		

PRIORITY APPLN. INFO.: GB 1992-25235 19921202
OTHER SOURCE(S): MARPAT 121:280958

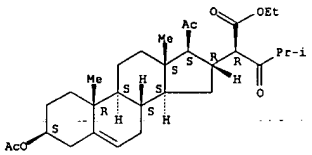
AB Compds. I [R1 = H, a C1-C4 alkyl, aryl-C1-C3-alkyl, or aroyl; R2 = H, Me; R3 = H, C1-C12 alkyl, aryl-C1-C3-alkyl, aroyl; R4 = C1-C12 alkyl, C5-C7 cycloalkyl, C6-C12 cycloalkyl-alkyl, aryl, or aryl-C1-C6-alkyl, wherein aryl is (un)substituted by .gtoreq. 1 C1-C4-alkyl, C1-C4-alkoxy, OH, halo, and/or CF3; W = H, COOR5 (where R5 = C1-C4 alkyl), or CONR6R7; R6, R7 = H, C1-C6 alkyl; optional .DELTA.1 present] are disclosed. I are expected to be useful for treatment of prostatic or breast cancer, benign prostatic hyperplasia, or other conditions in which a decrease in androgen action is desirable. For example, 16-dehydropregnenolone acetate underwent a sequence of addn. reaction with Me2CHCOCH2CO2Et at C-16 (84%), hydrolysis and decarboxylation (76%), Oppenauer oxidn. and isomerization to the 4-ene-3,20-dione (57%), hypobromite oxidn. of the sidechain to a 17.beta.-CO2H group (35%), cleavage to a 5-oxo-4-nor-3,5-seco-3-oic acid with NaIO4 and KMnO4 (51%), and cyclization with NH3 in ethylene glycol (76%), to give azasteroid II. Conversion of this to a pyridyl thioester (87%), amidation with NH3 (64%), cyclization of the amide in refluxing AcOH (54%), and hydrogenation (77%) gave title compd. III. Prepns. of the .DELTA.1 analog of III, and addnl. intermediates, are described, and various specific I are claimed. I were more potent than progesterone as inhibitors of human prostatic 5.alpha.-reductase in vitro (no data).

IT **158869-90-0P 158869-91-1P 158869-92-2P 158869-93-3P 158870-04-3P 158870-05-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of piperidoazaandrostanone derivs. as testosterone 5.alpha.-reductase inhibitors)

RN 158869-90-0 CAPLUS
CN Pregn-5-ene-16-acetic acid, 3-(acetyloxy)-.alpha.-(2-methyl-1-oxopropyl)-20-oxo-, ethyl ester, [3.beta.,16.alpha.(R)]- (9CI) (CA INDEX NAME)

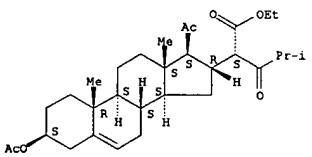
Absolute stereochemistry.

L12 ANSWER 22 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



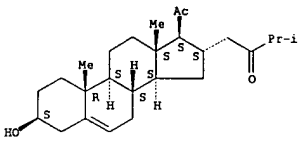
RN 158869-91-1 CAPLUS
CN Pregn-5-ene-16-acetic acid, 3-(acetyloxy)-.alpha.-(2-methyl-1-oxopropyl)-20-oxo-, ethyl ester, [3.beta.,16.alpha.(S)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 158869-92-2 CAPLUS
CN Pregn-5-en-20-one, 3-hydroxy-16-(3-methyl-2-oxobutyl)-, (3.beta.,16.alpha.)- (9CI) (CA INDEX NAME)

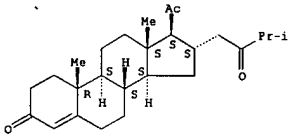
Absolute stereochemistry.



RN 158869-93-3 CAPLUS
CN Pregn-4-ene-3,20-dione, 16-(3-methyl-2-oxobutyl)-, (16.alpha.)- (9CI) (CA INDEX NAME)

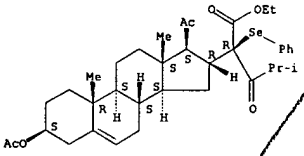
Absolute stereochemistry.

L12 ANSWER 22 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



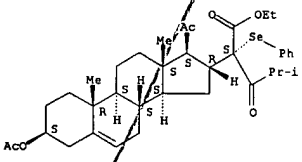
RN 158870-04-3 CAPLUS
CN Pregn-5-ene-16-acetic acid, 3-(acetyloxy)-.alpha.-(2-methyl-1-oxopropyl)-20-oxo-.alpha.-(phenylseleno)-, ethyl ester, [3.beta.,16.alpha.(R)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 158870-05-4 CAPLUS
CN Pregn-5-ene-16-acetic acid, 3-(acetyloxy)-.alpha.-(2-methyl-1-oxopropyl)-20-oxo-.alpha.-(phenylseleno)-, ethyl ester, [3.beta.,16.alpha.(S)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

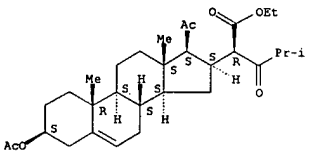


IT **158870-06-5P 158870-07-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of piperidoazaandrostanone derivs. as testosterone 5.alpha.-reductase inhibitors)

RN 158870-06-5 CAPLUS
CN Pregn-5-ene-16-acetic acid, 3-(acetyloxy)-.alpha.-(2-methyl-1-oxopropyl)-20-oxo-, ethyl ester, [3.beta.,16.beta.(R)]- (9CI) (CA INDEX NAME)

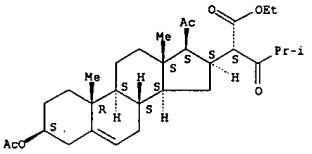
L12 ANSWER 22 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Absolute stereochemistry.



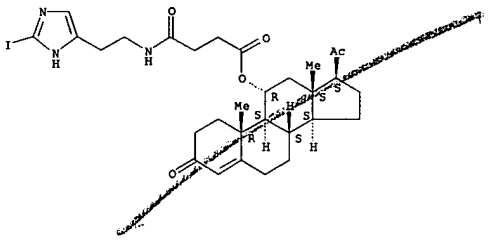
RN 158870-07-6 CAPLUS
CN Pregn-5-ene-16-acetic acid, 3-(acetyloxy)-.alpha.-(2-methyl-1-oxopropyl)-20-oxo-, ethyl ester, [3.beta.,16.beta.(S)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 23 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1994:622331 CAPLUS
DOCUMENT NUMBER: 121:222331
TITLE: Photoaffinity labeling with progesterone-11.alpha.-hemisuccinate-(2-[125I]iodohistamine) identifies four protein bands in mouse brain membranes
AUTHOR(S): Bukusoglu, Cuneyt; Krieger, Neil R.
CORPORATE SOURCE: Department of Anesthesia, Brigham and Women's Hospital, Boston, MA, USA
SOURCE: Journal of Neurochemistry (1994), 63(4), 1434-8
CODEN: JONRA9; ISSN: 0022-3042
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The radiolabeled progesterone (PG) analog progesterone-11.alpha.-hemisuccinate-(2-[125I]iodohistamine) was used to label PG binding proteins in brain membranes from mouse cerebellum. Photoaffinity labeling and SDS-PAGE identified specific PG binding protein bands 1-4 of 64-29 kDa. Bands 1 and 4 were well resolved on the gel and easily quantified. Preincubation with PG inhibited photolabeling in a dose-dependent manner. The labeling was specific with respect to steroid structure. For band 1, the extent of inhibition of labeling by PG and 3.alpha.,5.alpha.-pregnanolone (3.alpha.) was pronounced. Other steroids such as testosterone (Tes), estradiol (Est), and corticosterone (Cor) were less effective, whereas pregnenolone sulfate (PS) and cholesterol (Cho) were ineffective. With respect to band 4, Est was the most effective; PG, 3.alpha., and Tes were intermediate; and PS, Cho, and Cor were ineffective. The results describe specific membrane proteins that bind PG (band 1) and Est (band 4).
IT **158202-23-4**
RL: ARG (Analytical reagent use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(photoaffinity labeling of steroid binding proteins of cerebellum membranes by)
RN 158202-23-4 CAPLUS
CN Pregn-4-ene-3,20-dione, 11-[4-[[2-(2-iodo-1H-imidazol-4-yl)ethyl]amino]-1,4-dioxobutoxy]-, (11.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



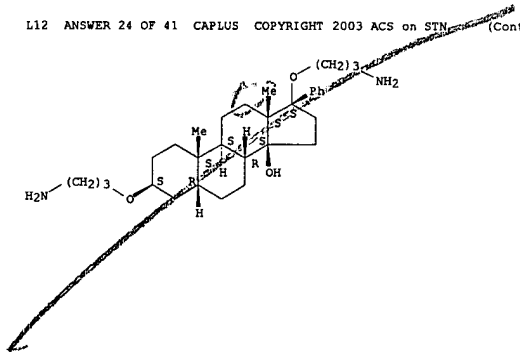
L12 ANSWER 24 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1994:509398 CAPLUS
DOCUMENT NUMBER: 121:109398
TITLE: Preparation of 17-aryl- and 17-heterocyclyl-5.beta.,14.beta.-androstanes as cardiovascular agents
INVENTOR(S): Almirante, Nicoletta; Bernardi, Luigi; Cerri, Alberto; Melloni, Piero; Padoani, Gloria; Quadri, Luisa
PATENT ASSIGNEE(S): Sigma-Tau Industrie Farmaceutiche Riunite S.p.A., Italy
SOURCE: Ger. Offen., 13 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4232638	A1	19940331	DE 1992-4232638	19920929
DE 4232638	C2	19941117		
EP 590272	A2	19940406	EP 1993-112500	19930804
EP 590272	A3	19940706		
EP 590272	B1	19970102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 147077	E	19970115	AT 1993-112500	19930804
ES 2095531	T3	19970216	ES 1993-112500	19930804
CA 2106917	AA	19940330	CA 1993-2106917	19930924
ZA 9307085	A	19940811	ZA 1993-7085	19930924
JP 06192286	A2	19940712	JP 1993-243359	19930929
US 5567694	A	19961022	US 1993-128114	19930929
PRIORITY APPLN. INFO.:			DE 1992-4232638	19920929

OTHER SOURCE(S): MARPAT 121:109398
AB Title compds. [I; R = aryl, heterocyclyl; 1 of Y = OH, OR3, SR3 and the other = H; Y2 = O, NNHC(:NH)NH2; R1-R3 = H, alk(en)yl, acyl, etc.; dashed line = optional bond] were prepd. Thus, 17.beta.-phenyl-5.beta.-androst-15-ene-3.beta.,14.beta.,17.alpha.-triol was converted in 5 steps to 3.beta.-(3-aminopropoxy)-17.beta.-phenyl-5.beta.-androstane-14.beta.,17.alpha.-diol which had pIC50 of 4.6 against Na+,K+-ATPase.
IT **156721-98-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as cardiovascular agent)
RN 156721-98-1 CAPLUS
CN Androstan-14-ol, 3,17-bis(3-aminopropoxy)-17-phenyl-, (3.beta.,5.beta.,14.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

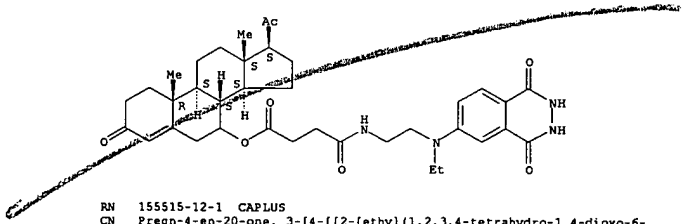
Absolute stereochemistry.

L12 ANSWER 24 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L12 ANSWER 25 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1994:316018 CAPLUS
DOCUMENT NUMBER: 120:316018
TITLE: Binding of homologous and heterologous isoluminol- and enzyme-labeled progesterone conjugates to monoclonal antibodies
AUTHOR(S): De Boever, Jozef G.; Kohen, Fortune; Bosmans, Eugene
CORPORATE SOURCE: Department of Obstetrics and Gynecology, University Hospital, Ghent, B-9000, Belg.
SOURCE: Analytica Chimica Acta (1994), 290(1-2), 239-45
CODEN: ACACAM; ISSN: 0003-2670
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The binding of three different progesterone-isoluminol and three different progesterone-enzyme (HRP) conjugates to monoclonal antibodies against progesterone-7-carboxy thioether-bovine serum albumin (clone 2H4) and progesterone-11-hemisuccinate-bovine serum albumin (clone 1E11) was compared. The isoluminol labels were covalently bound to progesterone via hemisuccinate bridges at carbon atoms 3, 7 or 11. The enzyme labels were covalently attached to the steroid using a carboxymethyl-aminocaproic acid bridge at carbon atom 3 or a hemisuccinate bridge at carbon atom 11. The influence of several factors on the binding between antibodies and conjugates and on the slopes of the calibration curves was studied. Considerable differences in the binding of the label and in the shape of the curves between both antibodies was obsd. In enzyme immunoassay, using clone 1E11, the binding of the progesterone-enzyme conjugate and the shape of the curves was governed by the presence in the reaction mixt. of the antibodies in liq. or solid-phase conditions.
IT **155515-11-0 155515-12-1**
RL: ANST (Analytical study)
(monoclonal antibodies binding to, in establishment of immunoassay)
RN 155515-11-0 CAPLUS
CN Pregn-4-ene-3,20-dione, 7-[4-[[2-[ethyl(1,2,3,4-tetrahydro-1,4-dioxo-6-phthalazinyl)amino]ethyl]amino]-1,4-dioxobutoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

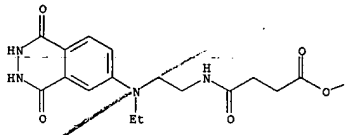


RN 155515-12-1 CAPLUS
CN Pregn-4-en-20-one, 3-[4-[[2-[ethyl(1,2,3,4-tetrahydro-1,4-dioxo-6-phthalazinyl)amino]ethyl]amino]-1,4-dioxobutoxy]- (9CI) (CA INDEX NAME)

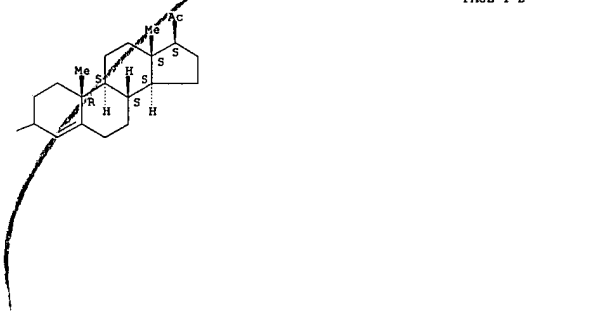
Absolute stereochemistry.

L12 ANSWER 25 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

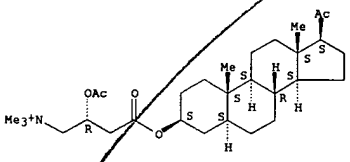
PAGE 1-A



PAGE 1-B



L12 ANSWER 26 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L12 ANSWER 26 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1994:253366 CAPLUS
DOCUMENT NUMBER: 120:253366
TITLE: Compositions and methods for enhanced drug delivery
INVENTOR(S): Hale, Ron L.; Lu, Amy; Solas, Dennis; Selick, Harold E.; Oldenburg, Kevin R.; Zaffaroni, Alejandro C.
PATENT ASSIGNEE(S): Affymax Technologies N.V., Neth.
SOURCE: PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9325197	A1	19931223	WO 1993-US5631	19930611
W: AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9345345	A1	19940104	AU 1993-45345	19930611
EP 647133	A1	19950412	EP 1993-915319	19930611
R: CH, DE, FR, GB, IT, LI, NL				
US 5607691	A	19970304	US 1995-449188	19950524

PRIORITY APPLN. INFO.: US 1992-898219 19920612
US 1993-9463 19930127
WO 1993-US5631 19930611
US 1993-77296 19930614
US 1993-164293 19931209

AB The present invention relates to methods of delivering pharmaceutical agents across membranes, including the skin layer or mucosal membranes of a patient. A pharmaceutical agent is covalently bonded to a chem. modifier, via a physiol. cleavable bond, such that the membrane transport and delivery of the agent is enhanced. Progesterone 3-(2-O-[10-O-(O-acetylcarnitinyl)decanoyl]glycolic acid) enol ester was prepd. from progesterone by prepn. of the enol acetate, reaction with 10-hydroxydecanoic acid, and reaction of the hydroxyl diester with 3-O-acetyl-L-carnitine acid chloride (prepn. given). In vitro serum half-lives of some pharmaceutical agent-chem. modifier complexes are given.

IT **154279-48-8**
RL: BIOL (Biological study)
(as drug-chem. modifier conjugate through physiol. cleavable bond, for enhanced drug transport across membranes)

RN 154279-48-8 CAPLUS
CN Pregnan-20-one, 3-[3-(acetyloxy)-1-oxo-4-(trimethylammonio)butoxy]-, [3.beta.(R),5.alpha.]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 27 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN

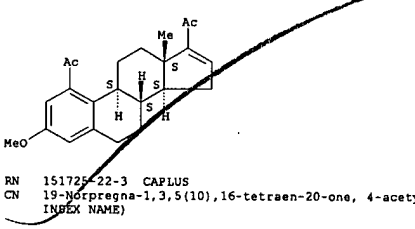
ACCESSION NUMBER: 1994:31014 CAPLUS
DOCUMENT NUMBER: 120:31014
TITLE: .DELTA.16-20-Keto steroids by C2-elongation from .DELTA.16-17-substituted steroids
AUTHOR(S): Schweder, Bernd; Uhlig, Egon; Doering, Manfred; Kosemund, Dirk
CORPORATE SOURCE: Inst. Anorg. Anal. Chem., Friedrich-Schiller-Univ., Jena, Germany
SOURCE: Journal fuer Praktische Chemie/Chemiker-Zeitung (1993), 335(5), 439-44
CODEN: JPCCEM; ISSN: 0941-1216
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 120:31014

AB Reactions of corticoid precursor steroids with a .DELTA.16-double bond and iodine, trimethylsilyl, tributylstannyl or trifluoromethanesulfonyloxy groups in 17-position were studied with the aim of introducing an acyl substituent in 17-position. Starting with the 17-trimethylsilyl compds., using acyl chlorides and AlCl3 as a catalyst, a mixt. of chlorinated compds. were obtained, among others. Better results were obtained with palladium-catalyzed reactions, such as the cross-coupling of 17-tributylstannyl compds. with acyl chlorides or the substitution of the 17-iodides or the 17-triflates by vinyl ethers. In the reaction of the 17-iodides, different protecting groups are tolerated; thus this method is of general use. No .DELTA.16-17-triflates were obtained by the reaction of androst-4-ene-3,17-dione or androsta-1,4-diene-3,17-dione with trifluoromethanesulfonyl anhydride. This is a limitation of the triflate method, which in the other cases gives the best yields (>80%).

IT **151725-20-1P 151725-22-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. by C2 elongation by acylation)

RN 151725-20-1 CAPLUS
CN 19-Norpregna-1,3,5(10),16-tetraen-20-one, 1-acetyl-3-methoxy- (9CI) (CA INDEX NAME)

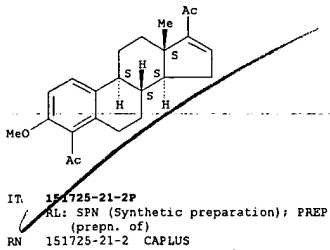
Absolute stereochemistry.



RN 151725-22-3 CAPLUS
CN 19-Norpregna-1,3,5(10),16-tetraen-20-one, 4-acetyl-3-methoxy- (9CI) (CA INDEX NAME)

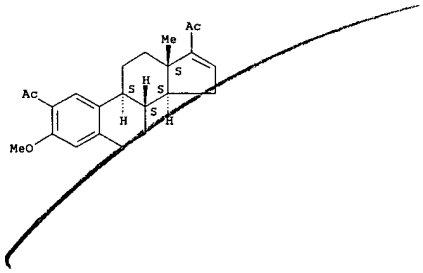
Absolute stereochemistry.

L12 ANSWER 27 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



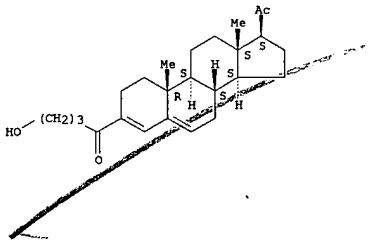
IT **151725-21-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 151725-21-2 CAPLUS
CN 19-Norpregna-1,3,5(10),16-tetraen-20-one, 2-acetyl-3-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



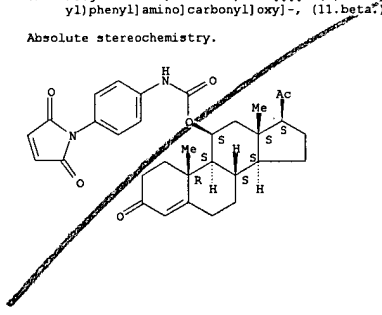
L12 ANSWER 28 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1993:650230 CAPLUS
DOCUMENT NUMBER: 119:250230
TITLE: Conversion of vinyl triflates into .gamma.'-hydroxy-.alpha.,.beta.-enones
AUTHOR(S): Arcadi, Antonio; Cacchi, Sandro; Marinelli, Fabio
CORPORATE SOURCE: Dip. Chim. Ing. Chim. Mater., Univ. L'Aquila, L'Aquila, I-67100, Italy
SOURCE: Tetrahedron (1993), 49(22), 4955-64
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 119:250230
AB Vinyl triflates have been converted into .gamma.'-hydroxy-.alpha.,.beta.-enones through their palladium-catalyzed coupling with 1-butyn-4-ols followed by the reaction of the obtained 1-hydroxy-3-yn-5-enes in an acidic CH2Cl2/3N HCl two-phase system in the presence of the n-BuN4Cl/PdCl2 combination. Both the coupling step and the conversion of the carbon-carbon triple bond into the ketonic group have been performed at room temp. Thus, the Pd-catalyzed coupling of vinyl triflate I (Tf = triflate) with 1-butyn-4-ol gave 93% 1-hydroxy-3-yn-5-ene II, which was converted to 79% .gamma.-hydroxy-.alpha.,.beta.-enone III in an acidic CH2Cl2/3N HCl two-phase system in the presence of n-BuN4Cl/PdCl2. The conversion of vinyl triflates into .gamma.-hydroxy-.alpha.,.beta.-enones can be carried out through a one-flask process, without the isolation of 1-hydroxy-3-yn-5-enes.
IT **151172-03-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, via palladium-catalyzed coupling reaction of vinyl triflate with butynol)
RN 151172-03-1 CAPLUS
CN Pregna-3,5-dien-20-one, 3-(4-hydroxy-1-oxobutyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 29 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1993:444589 CAPLUS
DOCUMENT NUMBER: 119:44589
TITLE: p-Maleimidophenyl isocyanate: a novel heterobifunctional linker for hydroxyl to thiol coupling
AUTHOR(S): Annunziato, Michael E.; Patel, Usha S.; Ranade, Madhuri; Palumbo, Paul S.
CORPORATE SOURCE: PB Diagn. Syst., Inc., Westwood, MA, 02090, USA
SOURCE: Bioconjugate Chemistry (1993), 4(3), 212-18
CODEN: BCCHES; ISSN: 1043-1802
DOCUMENT TYPE: Journal
LANGUAGE: English
AB P-Maleimidophenyl isocyanate (PMPI, 1) is a heterobifunctional crosslinking agent useful for thiol to hydroxyl coupling. Several maleimide-activated compds. were prepd. and characterized and then shown to be reactive with thiol-contg. proteins. Examples include activation of vitamin B12, digoxigenin, digitoxigenin, estradiol, progesterone, and some serine-contg. peptides.
IT **148528-51-2P**
RL: PREP (Preparation)
(prepn. of)
RN 148528-51-2 CAPLUS
CN Pregn-4-ene-3,20-dione, 11-[[[[4-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)phenyl]amino]carbonyl]oxy]-, (11.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



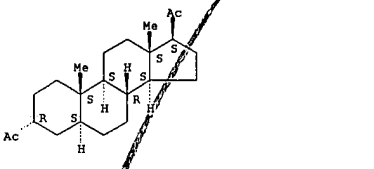
L12 ANSWER 30 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1993:248540 CAPLUS
DOCUMENT NUMBER: 118:248540
TITLE: GABAA receptor with steroid binding sites and agonists and drug screening methods
INVENTOR(S): Gee, Kelvin Wellman; Lan, Nancy Tsail Yun
PATENT ASSIGNEE(S): Cocensys, Inc., USA
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9305786	A1	19930401	WO 1992-US7613	19920909
W: AU, CA, JP, KR				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
AU 9226572	A1	19930427	AU 1992-26572	19920909
EP 603312	A1	19940629	EP 1992-920306	19920909
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE				
JP 06510999	T2	19941208	JP 1992-506095	19920909
PRIORITY APPLN. INFO.:			US 1991-759512	19910913
			WO 1992-US7613	19920909

AB A .gamma.-aminobutyric acid (GABA)A receptor-chloride ionophore complex (GRC) is disclosed which has a GABAA-assocd. neurosteroid receptor (GNR). The GNR may, depending on the binding agent used, reside on the .alpha..beta. subunit combination of the GRC. Agonists of the GNR on the GRC are claimed and are useful for treating anxiety, seizures, mood disorders, premenstrual syndrome, post natal depression, and insomnia. A method for screening for drugs that bind to GNRs with different subtype specificity comprises expressing cDNA encoding GRC subtypes in cells to form an expressed GNR subtype and screening for agonists of that subtype. A competitive or an allosteric modulatory assay may be used. The therapeutic index (LD50:ED50) for 3.alpha.-hydroxy-5.alpha.-pregnan-20-one (3.alpha.-OH-DHP) is >122 when based on the ED50 against (+)bicuculline-induced seizures, thus indicating very low toxicity and good anticonvulsant activity. Modification of the 3.alpha. position of 3.alpha.-OH-DHP with an acetate, propionate, or butyrate group increased the time of protection provided against seizures in mice.
IT **147850-40-6**, 3.alpha.-Acetyl-5.alpha.-pregnan-20-one
147850-41-7, 3.alpha.-Propionyl-5.alpha.-pregnan-20-one
147850-42-8, 3.alpha.-Butyryl-5.alpha.-pregnan-20-one
RL: PRP (Properties)
(anticonvulsant effects of, in mice)
RN 147850-40-6 CAPLUS
CN Pregnan-20-one, 3-acetyl-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

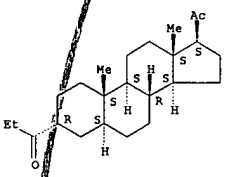
Absolute stereochemistry.

L12 ANSWER 30 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



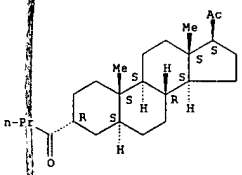
RN 147850-41-7 CAPLUS
CN Pregnan-20-one, 3-(1-oxopropyl)-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

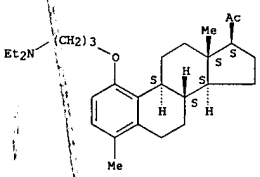


RN 147850-42-8 CAPLUS
CN Pregnan-20-one, 3-(1-oxobutyl)-, (3.alpha.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

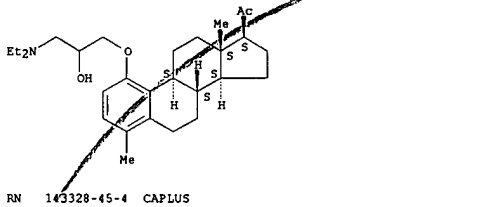


L12 ANSWER 31 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L12 ANSWER 31 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1992:524780 CAPLUS
DOCUMENT NUMBER: 117:124780
TITLE: Pregnane derivatives as pregnancy interceptive agents: efficacy determination on growing trophoblasts (in vitro) and in pregnant hamsters (in vivo)
AUTHOR(S): Shukla, Rajiv; Mehrotra, P. K.; Dwivedi, A.; Kamboj, V. P.
CORPORATE SOURCE: Div. Endocrinol., Cent. Drug Res. Inst., Lucknow, 226 001, India
SOURCE: Contraception (1992), 45(6), 605-15
CODEN: CCPTAY; ISSN: 0010-7824
DOCUMENT TYPE: Journal
LANGUAGE: English
AB An in vitro test system was standardized to study potentiality of five hormonally inert pregnane derivs. on growing trophoblasts isolated from ectoplacental cone (EPC) of day 8 hamster embryo. Cells were incubated with different concns. of resp. compds. in surface droplets. The response was detd. by analyzing the sequence of changes in cell morphol. like attachment, growth, proliferation, differentiation and/or degeneration within 24 or 48 h following seedling. The in vivo efficacy of these compds. was detd. in hamster during peri- and immediate post-implantation periods (days 3-8 post coitum). Two compds. 88/583 and 88/585 were found to inhibit not only growth and proliferation of the cells but caused total degeneration within 24 h. The same compds. induced partial to complete resorption of the fetuses in treated animals. Whereas, the other three compds. 88/506, 88/594 and 89/43 that showed lack of comparable potentiality in vitro were found to be equally ineffective in vivo. The results indicate a pos. correlation between in vitro and in vivo activity.
IT **134329-78-5 143328-45-4**
RL: BIOL (Biological study)
(pregnancy interception by)
RN 134329-78-5 CAPLUS
CN 19-Norpregna-1,3,5(10)-trien-20-one, 1-[3-(diethylamino)-2-hydroxypropoxy]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



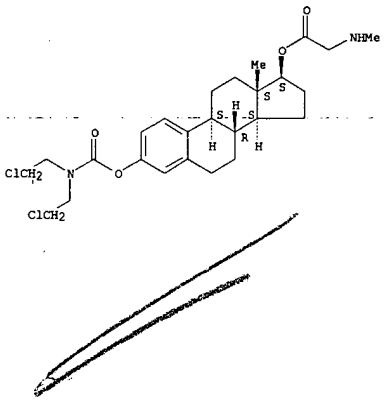
RN 143328-45-4 CAPLUS
CN 19-Norpregna-1,3,5(10)-trien-20-one, 1-[3-(diethylamino)propoxy]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 32 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1991:651330 CAPLUS
DOCUMENT NUMBER: 115:251330
TITLE: The effect of estramustine derivatives on microtubule assembly in vitro depends on the charge of the substituent
AUTHOR(S): Friden, Bo; Rutberg, Mikael; Deinum, Johanna; Wallin, Margareta
CORPORATE SOURCE: Dep. Zoophysiol., Univ. Goeteborg, Goeteborg, S-400 31, Swed.
SOURCE: Biochemical Pharmacology (1991), 42(5), 997-1006
CODEN: BCPCA6; ISSN: 0006-2952
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Estramustine inhibiting and derivs. of estramustine with a charged substituent at position 17 on the estrogen moiety, were investigated for their effects on bovine brain microtubules in vitro. The neg. charged estramustine phosphate has been found previously to be a microtubule-assocd. protein (MAP)-dependent microtubule inhibitor. In the present study, the binding of estramustine phosphate to MAP2 and tau was investigated. Both these MAPs had 2-3 binding sites for estramustine phosphate which is compatible with the reported no. of basic amino acid repeats of these MAPs, considered to be the ultimate tubulin binding domains. The Kd for the binding of estramustine phosphate to MAP2 was estd. to be 20 .mu.M at 4.degree., and for the binding of tau, 200 .mu.M. The rate of dissocn. was very low (T1/2 > 2 h), which indicates that the binding of estramustine phosphate may stabilize the protein-drug complex by changing the protein conformation. Two new neg. charged estramustine derivs., estramustine sulfate and estramustine glucuronide, were similar MAP-dependent microtubule inhibitors. The concn. for 50% inhibition of assembly was 100 mM for the sulfate deriv., the same as found previously for estramustine phosphate, and 250 .mu.M for the more bulky estramustine glucuronide. A pos. charged deriv., estramustine sarcosinate, did not inhibit microtubule assembly or alter the compn. of the coassembled MAPs. The morphol. of the microtubules was, however, affected. The uncharged estramustine bound to both tubulin and MAPs, but no effects were seen on microtubule assembly, the compn. of coassembled MAPs or the microtubule morphol. Only neg. charged estramustine derivs. have a MAP-dependent microtubule inhibitory effect. The two new neg. charged derivs. could therefore be valuable tools in the study of tubulin-MAP interactions.
IT **127527-04-2**, Estramustine sarcosinate
RL: ANST (Analytical study)
(microtubule assembly response to, ionic charge of substituents in relation to)
RN 127527-04-2 CAPLUS
CN Glycine, N-methyl-, (17.beta.)-3-[[[bis(2-chloroethyl)amino]carbonyl]oxy]estra-1,3,5(10)-trien-17-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 32 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L12 ANSWER 33 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN

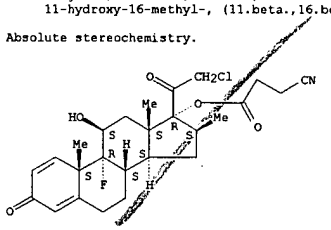
ACCESSION NUMBER: 1991:492696 CAPLUS
DOCUMENT NUMBER: 115:92696
TITLE: Synthesis and evaluation of antiinflammatory activities of a series of corticosteroid 17.alpha.-esters containing a functional group
AUTHOR(S): Ueno, Hiroaki; Maruyama, Akira; Miyake, Motoyoshi; Nakao, Etsuko; Nakao, Kenichiro; Umezu, Kohei; Nitta, Issei
CORPORATE SOURCE: Res. Cent., Mitsubishi Kasei Corp., Yokohama, 227, Japan
SOURCE: Journal of Medicinal Chemistry (1991), 34(8), 2468-73
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 115:92696

AB A series of 21-desoxy-21-chlorocorticosteroids I (R = OMe, n = 1, 2; R = Cl, n = 1, 3; R = OAc, n = 2; R = SMe, n = 1; R = cyano, n = 2; R = cyclopropyl, n = 1, 2; R = CO2R1; R1 = Me, Et, n = 2,3; R1 = H, iso-Pr, tert-Bu, n = 2) that contain a functionalized ester group at 17.alpha.- has been prepd. and examd. to sep. their systemic activity from topical antiinflammatory activity. Introduction of the functionalized ester group at 17.alpha. was carried out by an acid-catalyzed formation of cyclic ortho esters with 17.alpha.,21-hydroxyl groups of betamethasone and subsequent acid-catalyzed hydrolysis. The topical antiinflammatory activity and systemic activity of I were examd. and found to be significantly dependent on the functionalities in the 17.alpha.-esters. Among these derivs., I (R = CO2R1; R1 = Me, Et, iso-Pr, tert-Bu, n = 2, 3) showed an excellent sepn. of the systemic activity from topical activity. The effects of the ester no. of methylene groups (n) and of the alkyl groups of the ester on either topical or systemic activity of the corticosteroid derivs. were also investigated.

IT **133871-61-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antiinflammatory activity of)

RN 133871-61-1 CAPLUS
CN Pregna-1,4-diene-3,20-dione, 21-chloro-17-(3-cyano-1-oxopropoxy)-9-fluoro-11-hydroxy-16-methyl-, (11.beta.,16.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 33 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

L12 ANSWER 34 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN

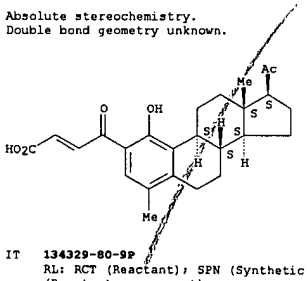
ACCESSION NUMBER: 1991:409109 CAPLUS
DOCUMENT NUMBER: 115:9109
TITLE: Regioselective reactions of 1,2-dehydroprogesterone: syntheses of pregnane derivatives as possible contragestational agents
AUTHOR(S): De, Dibyendu; Seth, Manju; Bhaduri, Amiya Prasad
CORPORATE SOURCE: Med. Chem. Div., Cent. Drug Res. Inst., Lucknow, 226 001, India
SOURCE: Steroids (1991), 56(4), 189-94
CODEN: STEDAM; ISSN: 0039-128X
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Various pregnane derivs. were synthesized from 1,2-dehydroprogesterone (I). Ring A of I was aromatized without affecting C-20, and the resulting acetoxy compd. II (R = Ac, R1 = H) after hydrolysis yielded 1-hydroxy-4-methyl-19-norpregna-1,3,5(10)-trien-20-one II (R = R1 = H) (III). Reactions of III with alkyl halides and 1-chloro-2,3-epoxypropane gave ethers, e.g., II [R = (CH2)2NEt2, R1 = H] and epoxide IV. Opening of the oxirane ring of IV with secondary amines furnished amino alcs. Friedel-Craft's acylation of III with maleic anhydride and chloroacetyl chloride gave II [R = H R1 = COCH:CHCO2H, COCH2Cl (V)] resp. Reaction of I with triethyl orthoformate in the presence of boron trifluoride etherate involved the participation of C-21, and the carbonyl at C-3 remained unaffected. The product was identified as 21-[2-hydroxyvinyl]-21-norpregna-1,4-diene-3,20-dione. Reductive amination of I with sodium cyanoborohydride in the presence of ammonium acetate did not attack ring A and smoothly furnished 20-aminopregna-1,4-dien-3-one, which, on reaction with succinic anhydride, gave the succinamide. Other derivs. of I, III, IV, and V were also prepd.

IT **134329-79-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and esterification of, with methanol)

RN 134329-79-6 CAPLUS
CN 2-Butenoic acid, 4-(1-hydroxy-4-methyl-20-oxo-19-norpregna-1,3,5(10)-trien-2-yl)-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

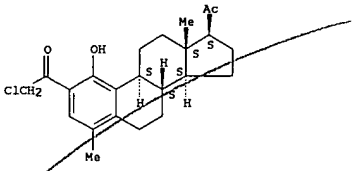


IT **134329-80-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and intramol. cyclization of, cyclopentaphenanthrofuran from)

RN 134329-80-9 CAPLUS
CN 19-Norpregna-1,3,5(10)-trien-20-one, 2-(chloroacetyl)-1-hydroxy-4-methyl-

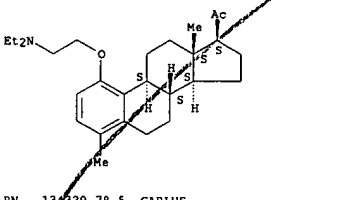
L12 ANSWER 34 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **134329-76-3P 134329-78-5P 134329-85-4P**
134329-86-5P 134329-87-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 134329-76-3 CAPLUS
CN 19-Norpregna-1,3,5(10)-trien-20-one, 1-[2-(diethylamino)ethoxy]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

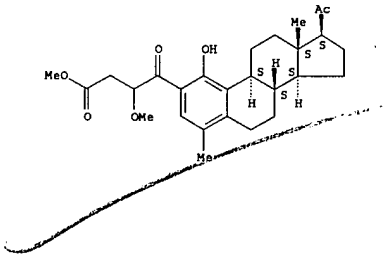


RN 134329-78-5 CAPLUS
CN 19-Norpregna-1,3,5(10)-trien-20-one, 1-[3-(diethylamino)-2-hydroxypropoxy]-4-methyl- (9CI) (CA INDEX NAME)

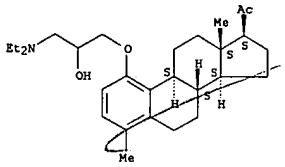
Absolute stereochemistry.

L12 ANSWER 34 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 134329-87-6 CAPLUS
CN 19-Norpregna-1,3,5(10)-trien-2-butanoic acid, 1-hydroxy-.beta.-methoxy-4-methyl-.gamma.,20-dioxo-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

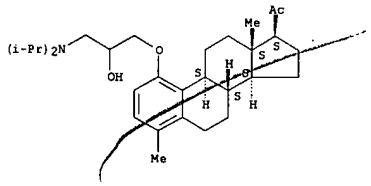


L12 ANSWER 34 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



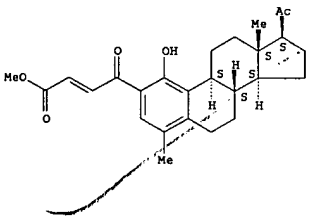
RN 134329-85-4 CAPLUS
CN 19-Norpregna-1,3,5(10)-trien-20-one, 1-[3-[bis(1-methylethyl)amino]-2-hydroxypropoxy]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 134329-86-5 CAPLUS
CN 2-Butenoic acid, 4-(1-hydroxy-4-methyl-20-oxo-19-norpregna-1,3,5(10)-trien-2-yl)-4-oxo-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



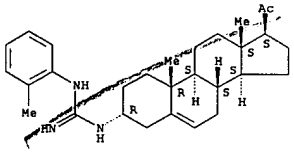
L12 ANSWER 35 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:	1990:514769 CAPLUS
DOCUMENT NUMBER:	113:114769
TITLE:	Synthesis and structure-activity relationships of N,N'-di-o-tolylguanidine analogs, high-affinity ligands for the haloperidol-sensitive .sigma. receptor
AUTHOR(S):	Scherz, Michael W.; Fialeix, Michelle; Fischer, James B.; Reddy, N. Laxma; Server, Alfred C.; Sonders, Mark S.; Tester, Barbara C.; Weber, Eckard; Wong, Scott T.; Keana, John F. W.
CORPORATE SOURCE:	Dep. Chem., Univ. Oregon, Eugene, OR, 97403, USA
SOURCE:	Journal of Medicinal Chemistry (1990), 33(9), 2421-9 CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 113:114769

AB With an eye toward the development of novel atypical antipsychotic agents, structure-affinity relationships of N,N'-di-o-tolylguanidine (DTG) and its congeners at the haloperidol-sensitive .sigma. receptor were studied. A no. of DTG analogs were synthesized and evaluated in in vitro radioligand displacement expts. with guinea pig brain membrane homogenates, using the highly .sigma.-specific radioligands [3H]-DTG and [3H]-(+)-3-(3-hydroxyphenyl)-N-(1-propyl)piperidine and the phenylcyclidine (PCP) receptor specific compds. [3H]-N-[1-(2-thienyl)cyclohexyl]piperidine and [3H]-(+)-5-methyl-10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5,10-imine. A combination of arom. and satd. carbocyclic substituents resulted in some of the most potent .sigma. ligands described to date (e.g., N-exo-2-norbornyl-N'-(2-iodophenyl)guanidine, IC50 = 3 nM vs. [3H]-DTG). All of the compds. tested were several orders of magnitude more potent at the .sigma. receptor than at the PCP receptor, with a few notable exceptions.

IT **128413-99-0P 128414-00-6P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and affinity of, for haloperidol-sensitive .sigma. receptor)
RN 128413-99-0 CAPLUS
CN Guanidine, N-(2-methylphenyl)-N'-[(3.alpha.)-20-oxopregn-5-en-3-yl]- (9CI) (CA INDEX NAME)

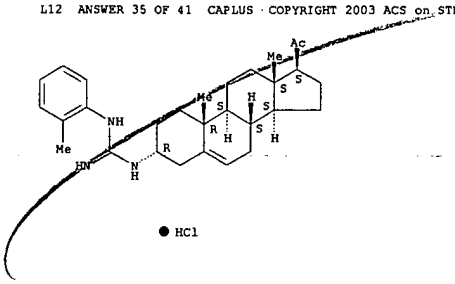
Absolute stereochemistry.



RN 128414-00-6 CAPLUS
CN Guanidine, N-(2-methylphenyl)-N'-[(3.alpha.)-20-oxopregn-5-en-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 35 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



● HCl

L12 ANSWER 36 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1990:406678 CAPLUS
DOCUMENT NUMBER: 113:6678
TITLE: Preparation of estratrienediol derivatives as antineoplastics and pharmaceutical compositions containing them
INVENTOR(S): Hansen, Bertil Valdemar; Gunnarsson, Per Olov Gunnar; Mollberg, Henri Rene; Johansson, Sven Ake
PATENT ASSIGNEE(S): Pharmacia AB, Swed.
SOURCE: Eur. Pat. Appl., 10 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 351561	A1	19900124	EP 1989-111062	19890619
EP 351561	B1	19931027		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5036062	A	19910730	US 1989-365436	19890613
CA 1317587	A1	19930511	CA 1989-603014	19890616
AT 96446	E	19931115	AT 1989-111062	19890619
ES 2059626	T3	19941116	ES 1989-111062	19890619
AU 8936682	A1	19900104	AU 1989-36682	19890621
AU 607621	B2	19910307		
ZA 8904716	A	19900328	ZA 1989-4716	19890621
DK 8903189	A	19891229	DK 1989-3189	19890627
NO 8902672	A	19891229	NO 1989-2672	19890627
NO 172939	B	19930621		
NO 172939	C	19930929		
FI 8903130	A	19891229	FI 1989-3130	19890627
FI 92707	B	19940915		
FI 92707	C	19941227		
JP 02053795	A2	19900222	JP 1989-162850	19890627
JP 2563587	B2	19961211		
HU 52521	A2	19900728	HU 1989-3235	19890627
HU 203766	B	19910930		
CN 1045792	A	19901003	CN 1989-104490	19890627
CN 1031060	B	19960221		
DD 284026	A5	19901031	DD 1989-330011	19890627
RU 2036929	C1	19950609	RU 1989-4614513	19890627
LT 3548	B	19951127	LT 1993-603	19930602
LV 10235	B	19950420	LV 1993-514	19930608
PRIORITY APPLN. INFO.:			SE 1988-2402	19880628
			EP 1989-111062	19890619

OTHER SOURCE(S): MARPAT 113:6678

AB The title compds. [I; R1, R2, R3 = H, alkyl; or NR2R3 = heterocyclyl; n = 0, 1, 2] and their pharmaceutically acceptable salts were prepd. Estramustine in toluene was treated with ClCH2COCl at 70.degree. for 1.5 h to give 17-estramustine chloroacetate, which reacted with MeNH2 in MeCN to give estramustine 17-N-methylaminoacetate. The oral bioavailability of I was superior to that of the known estramustine phosphate di-Na salt; pptn. of I by Ca ion was lower than the std. Capsules contg. I were formulated.

IT **127527-05-3P 127527-07-5P 127527-10-0P 127527-11-1P 127527-12-2P 127527-13-3P**

L12 ANSWER 36 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

127527-14-4P 127527-15-5P 127527-16-6P 127527-17-7P 127527-18-8P 127527-19-9P 127527-20-2P 127527-23-5P

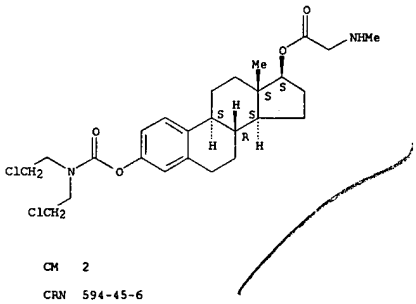
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antineoplastic)

RN 127527-05-3 CAPLUS
CN Glycine, N-methyl-, (17.beta.)-3-[[[bis(2-chloroethyl)amino]carbonyl]oxy]estra-1,3,5(10)-trien-17-yl ester, monoethanesulfonate (9CI) (CA INDEX NAME)

CM 1

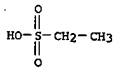
CRN 127527-04-2
CMF C26 H36 Cl2 N2 O4

Absolute stereochemistry.



CM 2

CRN 594-45-6
CMF C2 H6 O3 S



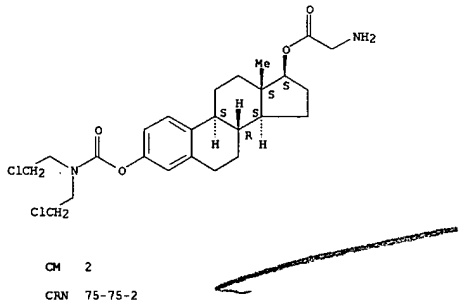
RN 127527-07-5 CAPLUS
CN Glycine, (17.beta.)-3-[[[bis(2-chloroethyl)amino]carbonyl]oxy]estra-1,3,5(10)-trien-17-yl ester, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 127527-06-4
CMF C25 H34 Cl2 N2 O4

Absolute stereochemistry.

L12 ANSWER 36 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

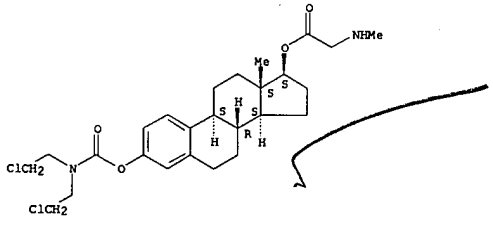


CM 2

CRN 75-75-2
CMF C H4 O3 S

RN 127527-10-0 CAPLUS
CN Glycine, N-methyl-, (17.beta.)-3-[[[bis(2-chloroethyl)amino]carbonyl]oxy]estra-1,3,5(10)-trien-17-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



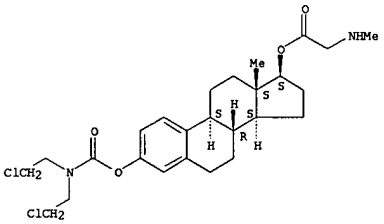
● HCl

L12 ANSWER 36 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 127527-11-1 CAPLUS
CN Glycine, N-methyl-, (17.beta.)-3-[[[bis(2-chloroethyl)amino]carbonyl]oxy]estra-1,3,5(10)-trien-17-yl ester, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 127527-04-2
CMF C26 H36 Cl2 N2 O4

Absolute stereochemistry.



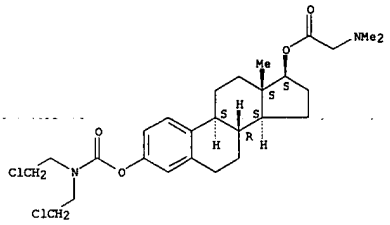
CM 2

CRN 75-75-2
CMF C H4 O3 S

RN 127527-12-2 CAPLUS
CN Glycine, N,N-dimethyl-, (17.beta.)-3-[[[bis(2-chloroethyl)amino]carbonyl]oxy]estra-1,3,5(10)-trien-17-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

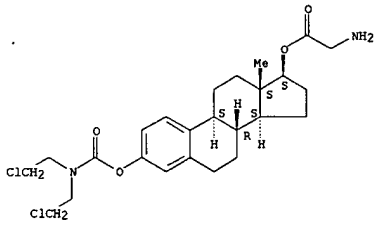
L12 ANSWER 36 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



● HCl

RN 127527-13-3 CAPLUS
CN Glycine, (17.beta.)-3-[[[bis(2-chloroethyl)amino]carbonyl]oxy]estra-1,3,5(10)-trien-17-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

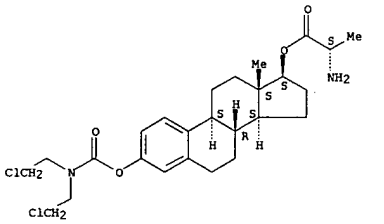


● HCl

RN 127527-14-4 CAPLUS
CN L-Alanine, (17.beta.)-3-[[[bis(2-chloroethyl)amino]carbonyl]oxy]estra-1,3,5(10)-trien-17-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

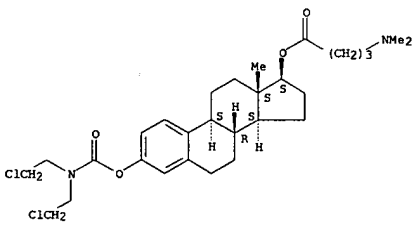
L12 ANSWER 36 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



● HCl

RN 127527-15-5 CAPLUS
CN Estra-1,3,5(10)-triene-3,17-diol (17.beta.)-, 3-[bis(2-chloroethyl)carbamate] 17-[4-(dimethylamino)butanoate], monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

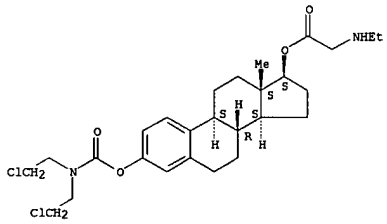


● HCl

RN 127527-16-6 CAPLUS
CN Glycine, N-ethyl-, (17.beta.)-3-[[[bis(2-chloroethyl)amino]carbonyl]oxy]estra-1,3,5(10)-trien-17-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

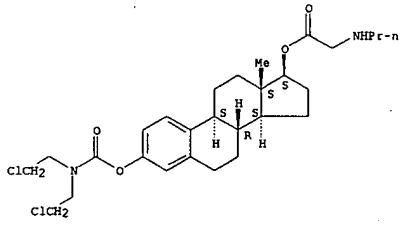
L12 ANSWER 36 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



● HCl

RN 127527-17-7 CAPLUS
CN Glycine, N-propyl-, (17.beta.)-3-[[[bis(2-chloroethyl)amino]carbonyl]oxy]estra-1,3,5(10)-trien-17-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

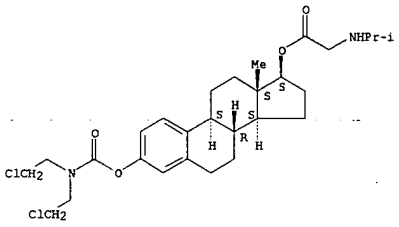


● HCl

RN 127527-18-8 CAPLUS
CN Glycine, N-(1-methylethyl)-, (17.beta.)-3-[[[bis(2-chloroethyl)amino]carbonyl]oxy]estra-1,3,5(10)-trien-17-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

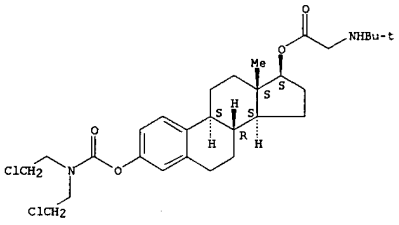
L12 ANSWER 36 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



● HCl

RN 127527-19-9 CAPLUS
CN Glycine, N-(1,1-dimethylethyl)-, (17.beta.)-3-[[[bis(2-chloroethyl)amino]carbonyl]oxy]estra-1,3,5(10)-trien-17-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

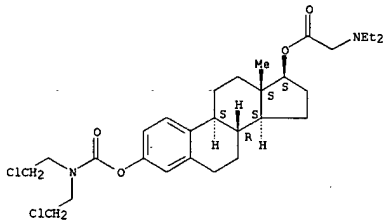


● HCl

RN 127527-20-2 CAPLUS
CN Glycine, N,N-diethyl-, (17.beta.)-3-[[[bis(2-chloroethyl)amino]carbonyl]oxy]estra-1,3,5(10)-trien-17-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

L12 ANSWER 36 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

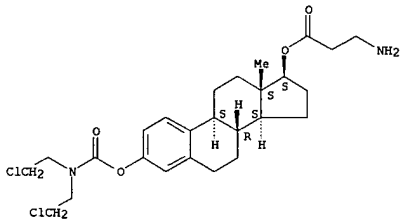
Absolute stereochemistry.



● HCl

RN 127527-23-5 CAPLUS
CN .beta.-Alanine, (17.beta.)-3-[[[bis(2-chloroethyl)amino]carbonyl]oxy]estra-1,3,5(10)-trien-17-yl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L12 ANSWER 37 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN

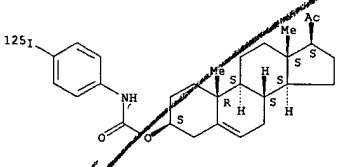
ACCESSION NUMBER: 1990:51377 CAPLUS
DOCUMENT NUMBER: 112:51377
TITLE: Potential tumor or organ imaging agents. 31. Radioiodinated sterol benzoates and carbamates
AUTHOR(S): Van Dort, M.; Santay, L.; Schwendner, S. W.; Counsell, R. E.
CORPORATE SOURCE: Med. Sch., Univ. Michigan, Ann Arbor, MI, 48109-0626, USA
SOURCE: Nuclear Medicine and Biology (1989), 16(6), 603-7
CODEN: NMBIEO; ISSN: 0883-2897
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:51377

AB A series of radioiodinated benzoate and carbamate esters of cholesterol and pregnenolone wherein the acyl moiety served as the carrier for radioiodine was synthesized and evaluated as potential imaging agents for the adrenal cortex. 2,6-Dimethyl-3-iodobenzoyl and N-(4-iodophenyl) carbamoyl groups were chosen as the acyl functionality in an attempt to provide esters resistant to in vivo hydrolysis. Tissue disposition studies in rats revealed that their biodistribution as detd. by the attached sterol carrier; the cholesterol esters demonstrated significant uptake at 24 h in the adrenal whereas the corresponding pregnenolone derivs. showed only slight affinity for steroid-secreting tissues at this time.

IT **124784-19-6P**
RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(prepn. and metab. of, scintigraphy of adrenal cortex in relation to)

RN 124784-19-6 CAPLUS
CN Pregn-5-en-20-one, 3-[[[[4-(iodo-125I)phenyl]amino]carbonyl]oxy]-, (3.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

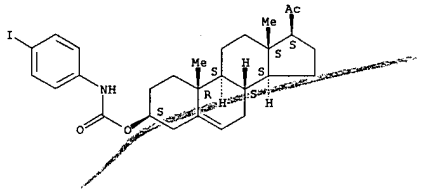


IT **124824-12-0P**
RL: BYP (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and radioiodination of)

RN 124824-12-0 CAPLUS
CN Pregn-5-en-20-one, 3-[[[(4-iodophenyl)amino]carbonyl]oxy]-, (3.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 37 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L12 ANSWER 38 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1990:7791 CAPLUS
DOCUMENT NUMBER: 112:7791
TITLE: Pregnane derivatives useful as intermediates for vitamin D3 derivatives, and their preparation
INVENTOR(S): Tsuji, Jiro; Takahashi, Takashi; Tsuji, Masao; Nakagawa, Naoshi; Takigawa, Tetsuo
PATENT ASSIGNEE(S): Kuraray Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 245 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 321572	A1	19890628	EP 1988-902929	19880329
EP 321572	B1	19930609		
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
AT 90357	E	19930615	AT 1988-902929	19880329
US 5359055	A	19941025	US 1991-799186	19911127

PRIORITY APPLN. INFO.:
JP 1987-77849 19870330
JP 1987-77850 19870330
JP 1987-77851 19870330
JP 1987-80589 19870331
JP 1988-80588 19870331
JP 1987-80588 19870331
EP 1988-902929 19880329
WO 1988-JP313 19880329
US 1988-283927 19881130
US 1990-545120 19900615

OTHER SOURCE(S): MARPAT 112:7791

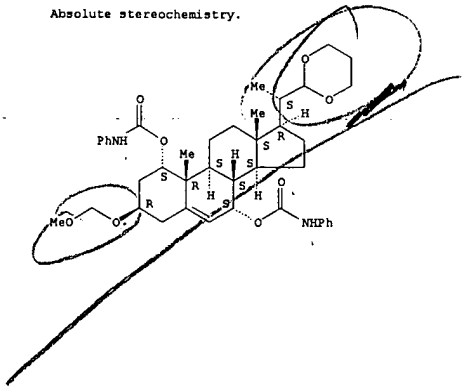
AB Pregnanes I [A1 = H and A2 = OH, acyloxy, alkoxycarbonyloxy, (di)alkylcarbamoyloxy, arylcarbamoyloxy, silyloxy, (un)substituted alkoxymethoxy; or A1 = OH and A2 = H; or A1A2 = O; D1 = 1st definition A2; D2 = H; or D1D2 = O, bond; D3, D5, D7 = H; D4 = OH; D6 = OH, alkoxycarbonyloxy, acyloxy, (di)alkylcarbamoyloxy, arylcarbamoyloxy; or D3D4, D5D6 = O, bond; or D4D5, D6D7 = bond; X1, X2 = alkoxy; or X1X2 = alkylenedioxy, O] are prepd. as intermediates for vitamin D3 derivs. such as 1.alpha.-hydroxyvitamin D3. Thus, 7.alpha.-hydroxy-21,21-dimethoxy-20-methylpregna-1,4-dien-3-one was subjected to dehydration by tosic acid, epoxidn. of .DELTA.1, redn. by NaBHEt3 to the 3.alpha.-ol, epoxidn. of .DELTA.4, oxidn. to the 3-one, and redn. with LiBH(CHMeEt)3 to give 21,21-dimethoxy-20-methylpregn-6-ene-1.alpha.,3.beta.,5.alpha.-triol. The latter underwent benzoylation at 3.beta.-OH, acylation of 1.alpha.-OH by MeNCO, dehydration to the 5,7-diene, and deprotection to give 1.alpha.,3.beta.-dihydroxypregna-5,7-diene-20-carbaldehyde. This was protected as the bis(tetrahydropyranyl) ether and treated with Me2CHCH2CH2MgBr, followed by mesylation and redn. with LiAlH4, to give cholesta-5,7-diene-1.alpha.,3.beta.-diol.

IT **123946-55-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for vitamin D3 derivs.)

RN 123946-55-4 CAPLUS
CN Pregn-5-ene-20-carboxaldehyde, 3-(methoxymethoxy)-1,7-bis[[(phenylamino)carbonyl]oxy]-, cyclic 20-(1,3-propanediyl acetal),

L12 ANSWER 38 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(1.alpha.,3.beta.,7.alpha.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 39 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1960:86588 CAPLUS
DOCUMENT NUMBER: 54:86588
ORIGINAL REFERENCE NO.: 54:16480h-i,16481a-c
TITLE: 16-(Substituted-methyl)pregnenolones and derivatives
INVENTOR(S): Mazur, Robert H.; Cella, John A.
PATENT ASSIGNEE(S): G. D. Searle & Co.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2932655		19600412	US	

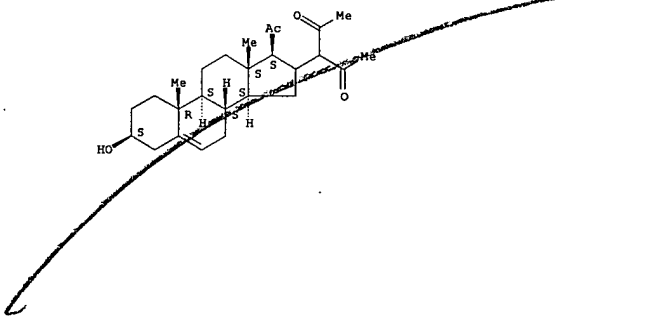
AB To K 13 in tert-BuOH 335 at room temp. is added malononitrile 22 then 3.beta.-acetoxypregna-5,16-dien-20-one 60 washed into the reaction with tert-BuOH 335, the mixt. stirred, refluxed under N overnight, neutralized with HOAc 20 parts, the solvent removed in vacuo, the residue extd. with CHCl3, the ext. washed with H2O, dried, the CHCl3 distd., and the residue chromatographed on silica gel with C6H6-EtOAc as developing solvents to give a mixt. of .alpha.- and .beta.-isomers of 3.beta.-acetoxy-16-(dicyanomethyl)pregn-5-en-20-one, m. 160-90.degree. (anhyd. alc.). To anhyd. EtOH 1000 is added 3.beta.-acetoxypregna-5,16-dien-20-one 100, Na 14, and malononitrile 40 parts, the mixt. stirred at room temp. until a clear soln. results, the soln. allowed to stand undisturbed 24 hrs., dild. with a large vol. of H2O, extd. with CHCl3, the CHCl3 evapd., and the residue chromatographed on silica gel with C6H6-EtOAc as developing solvent to give 16-dicyanomethyl-3.beta.-hydroxypregn-5-en-2-one, m. 206-9.degree. (EtOH). 16-Dicyanomethyl-3.beta.-hydroxypregn-5-en-20-one 17, freshly distd. cyclohexanone 170, and 20% (iso-PrO)3Al 100 in dry toluene is added to dry toluene 1500, the mixt. refluxed 2 hrs., cooled, added to 50% aq. K Na tartrate 1500 parts, the mixt. steam-distd., the residue extd. with CHCl3, the ext. evapd. to dryness, and the residue chromatographed on silica gel to give 16-dicyanomethylpregn-4-ene-3,20-dione when developed with 10% EtOAc in C6H6, m. 206-10.degree. (C6H6-cyclohexane). Prepd. similarly are: 3.beta.-acetoxy-16-(diacetylmethyl)pregn-5-en-20-one, m. 182-6.degree.; 16-diacetylmethyl-3.beta.-hydroxypregn-5-en-20-one; 16-(diacetylmethyl)pregn-4-ene-3,20-dione; the .alpha.- and .beta.-isomers of 3.beta.-acetoxy-16-[bis(ethoxycarbonyl)methyl]pregn-5-en-20-one, one isomer m. 122-4.degree., the other m. 139-40.degree.; 16-[bis(ethoxycarbonyl)methyl]-3.beta.-hydroxypregn-5-en-20-one; 16-[bis(ethoxycarbonyl)methyl]pregn-4-ene-3,20-dione; 16-[.alpha.-(ethoxycarbonyl)cyanomethyl]-3.beta.-hydroxypregn-5-en-20-one, m. 194-6.degree.; 16-[.alpha.(ethoxycarbonyl)cyanomethyl]pregn-4-ene-3,20-dione, m. 213-16.degree.; 3.beta.-acetoxy-16-[.alpha.-(acetyl)ethoxycarbonylmethyl]pregn-5-en-20-one, m. 165-7.degree.; 16-[.alpha.-(acetyl)ethoxycarbonylmethyl]-3.beta.-hydroxypregn-5-en-20-one, and 16-[.alpha.-(acetyl)ethoxycarbonylmethyl]pregn-4-ene-3,20-dione.

IT **124320-18-9**, 2,4-Pentanedione, 3-(3.beta.-hydroxy-20-oxopregn-5-en-16-yl)-
(prepn. of)

RN 124320-18-9 CAPLUS
CN 2,4-Pentanedione, 3-(3.beta.-hydroxy-20-oxopregn-5-en-16-yl)- (6CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 39 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L12 ANSWER 40 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1957:81859 CAPLUS
DOCUMENT NUMBER: 51:81859
ORIGINAL REFERENCE NO.: 51:14842i,14843a
TITLE: 2,21-Dialkoxalylprogesterones
PATENT ASSIGNEE(S): Upjohn Co.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

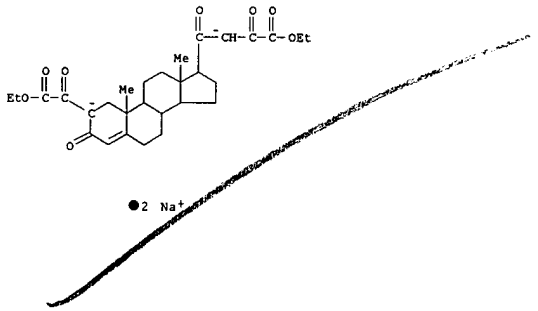
PATENT NO.	KIND	DATE	APPLICATION NO:	DATE
GB 761528		19561114	GB	

AB Br (7.4 g.) in 74 ml. MeOH added dropwise during 30 min. to 8 g. II and 5.9 g. anhyd. KOAc in 140 ml. MeOH at 0.degree., and the resulting mixt., contg. the 2,21,21-Br3 deriv., treated with 50 mg. PhOH and 67 ml. 1.5N NaOMe in MeOH, heated 5 min. on a steam bath, and added to H2O gave a ppt. of 6.77 g. impure Me 2-bromo-3,11-dioxo-4,17(20)-pregnadien-21-oate (III), purified by chromatography on Florisil and recrystn. from MeOH, prisms, m. 155-60.degree. or 160-2.degree., depending upon the rate of heating. Similarly is produced the 2-Cl analog of III. Also prepd. were alkyl 2-bromo-3-oxo-4,17(20)-pregnadien-21-oates and the 11.alpha.- and 11.beta.-HO derivs. thereof.

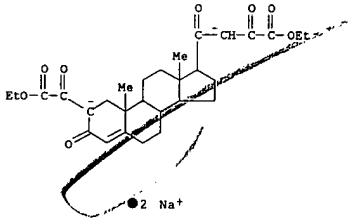
IT **124202-80-8**, Androst-4-ene-17.beta.-crotonic acid, 2-(carboxyhydroxymethylene)-.alpha.-hydroxy-.gamma.,3-dioxo-, diethyl ester, di-Na deriv.
(prepn. of)

RN 124202-80-8 CAPLUS

CN Androst-4-ene-17.beta.-butyric acid, 2-carboxycarbonyl-.alpha.,.gamma.,3-trioxo-, diethyl ester, disodium deriv. (6CI) (CA INDEX NAME)



L12 ANSWER 41 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L12 ANSWER 41 OF 41 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1957:81858 CAPLUS
DOCUMENT NUMBER: 51:81858
ORIGINAL REFERENCE NO.: 51:14842h-i
TITLE: 2,21-Dialkoxalylprogesterones
PATENT ASSIGNEE(S): Upjohn Co.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

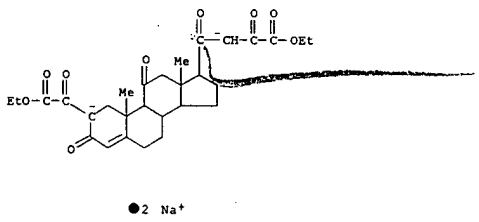
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 761527		19561114	GB	

AB (CO2Et)2 (19 ml.), 21.2 ml. 2.2N NaOMe in MeOH, and 6.9 g. 11-oxoprogesterone (I) in 100 ml. anhyd. Me3COH initially at 50.degree. kept 3 hrs. at room temp., and the pptd. Na dienolate filtered off, dissolved in H2O, and acidified gave 10.2 g. 2,21-diethoxalyl-11-oxoprogesterone (II), yellow amorphous powder. Also prepd. were 2,21-diethoxalylprogesterone and the 11.alpha.-and 11.beta.-HO derivs. thereof.

IT **124202-67-1**, Androst-4-ene-17.beta.-crotonic acid, 2-(carboxyhydroxymethylene)-.alpha.-hydroxy-.gamma.,3,11-trioxo-, diethyl ester, di-Na deriv. **124202-80-8**, Androst-4-ene-17.beta.-crotonic acid, 2-(carboxyhydroxymethylene)-.alpha.-hydroxy-.gamma.,3-dioxo-, diethyl ester, di-Na deriv.
(prepn. of)

RN 124202-67-1 CAPLUS

CN Androst-4-ene-17.beta.-butyric acid, 2-carboxycarbonyl-.alpha.,.gamma.,3,11-tetraoxo-, diethyl ester, dienol disodium deriv. (6CI) (CA INDEX NAME)



RN 124202-80-8 CAPLUS

CN Androst-4-ene-17.beta.-butyric acid, 2-carboxycarbonyl-.alpha.,.gamma.,3-trioxo-, diethyl ester, disodium deriv. (6CI) (CA INDEX NAME)

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L4            566 S L1  RAN=(123946-55-4,)
L5            566 S L3 OR L4
L6                STRUCTURE UPLOADED
L7            163 S L6 FULL SUB=L5
L8            403 S L5 NOT L7
L9            455 S L5 AND 1/NC
L10           132 S L7 AND 1/NC

     FILE 'CAPLUS' ENTERED AT 10:01:59 ON 07 OCT 2003
L11           125 S L5
L12            41 S L11 NOT PY>=1998
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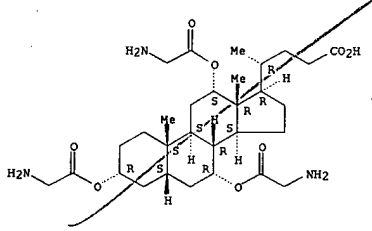
L39 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:567849 CAPLUS
DOCUMENT NUMBER: 133:322041
TITLE: Preparation and Characterization of Cholic Acid-Derived Antimicrobial Agents with Controlled Stabilities
AUTHOR(S): Guan, Qunying; Li, Chunhong; Schmidt, Erica J.; Boswell, J. Scott; Walsh, Joshua P.; Allman, Glenn W.; Savage, Paul B.
CORPORATE SOURCE: Departments of Chemistry and Biochemistry and Microbiology, Brigham Young University, Provo, UT, 84602, USA
SOURCE: Organic Letters (2000), 2(18), 2837-2840
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Novel cholic acid-derived antimicrobial agents I (n = 1, 2, 3; R = octyl, CH2CH2N+Me3) that decomp. under mildly basic conditions have been prepd. These compds. range in biol. properties from potent antibacterial activity to effective permeabilization of the outer membranes of Gram-neg. bacteria.
IT **302784-44-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and characterization of cholic acid-derived antimicrobial agents with controlled stabilities)
RN 302784-44-7 CAPLUS
CN Cholan-24-oic acid, 3,7,12-tris[(aminoacetyl)oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

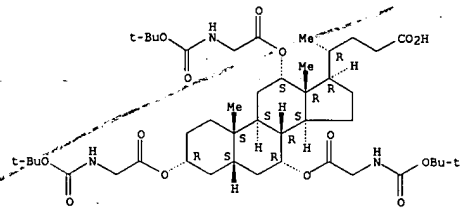
Absolute stereochemistry.



IT **302784-51-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and characterization of cholic acid-derived antimicrobial agents with controlled stabilities)
RN 302784-51-6 CAPLUS
CN Cholan-24-oic acid, 3,7,12-tris[[[[(1,1-dimethylethoxy)carbonyl]amino]acet

L39 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2003 ACS (Continued)
yl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

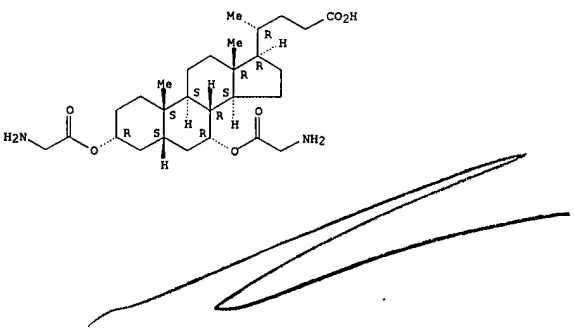
Absolute stereochemistry.



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L39 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:692070 CAPLUS
DOCUMENT NUMBER: 126:60319
TITLE: Sequence-selective nonmacrocyclic two-armed receptors for peptides
AUTHOR(S): Nestler, H. Peter
CORPORATE SOURCE: Cold Spring Harbor Lab., Cold Springs harbor, NY, 11724, USA
SOURCE: Molecular Diversity (1996), 2(1/2), 35-40
CODEN: MODIF4; ISSN: 1381-1991
PUBLISHER: ESCOM
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Tweezer-like receptor mols. have proven their potential for mol. recognition on several occasions. We decided to make twofold use of this receptor design: firstly to learn whether simple mol. forceps consisting of two peptide chains linked by a spacer are able to selectively bind to small peptides, and secondly to investigated the importance of structural preorganization for the characteristics of the receptors. We prepd. two encoded combinatorial libraries based on this design, featuring two combinatorial tripeptide chains held by different scaffolds: the use of chenodeoxycholic acid as spacer provided a rigid scaffold for the forceps, whereas linking the peptide chains by a pentamethylene chain yielded a very flexible forceps structure. Mols. from the cholic acid library recognize and discriminate various enkephalins with micromolar affinities. Mols. from the flexible library show distinct interactions with the enkephalins as well, but the specificity and affinity are clearly diminished. Thus, although the interactions of mol. forceps with peptides are not crucially dependent on structural preorganization, receptors with a rigid design are clearly superior to flexible mol. forceps.
IT **185215-77-4D**, peptidyl derivs., resin-bound
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(sequence-selective nonmacrocyclic two-armed receptors for peptides)
RN 185215-77-4 CAPLUS
CN Cholan-24-oic acid, 3,7-bis[(aminoacetyl)oxy]-, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



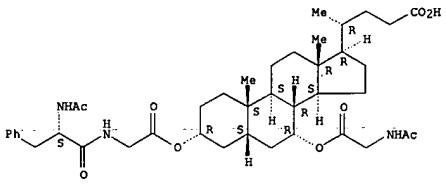
L39 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:994155 CAPLUS
DOCUMENT NUMBER: 124:56726
TITLE: Preparation of synthetic receptors and libraries thereof.
INVENTOR(S): Still, W. Clark; Li, Ge; Wennemers, Helma
PATENT ASSIGNEE(S): Trustees of Columbia University in the City of New York, USA
SOURCE: PCT Int. Appl., 141 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9519567	A1	19950720	WO 1995-US572	19950113
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2180844	AA	19950720	CA 1995-2180844	19950113
AU 9521565	A1	19950801	AU 1995-21565	19950113
AU 686785	B2	19980212		
ZA 9500260	A	19950928	ZA 1995-260	19950113
EP 739486	A1	19961030	EP 1995-914675	19950113
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09511486	T2	19971118	JP 1995-519163	19950113
US 5804563	A	19980908	US 1996-628972	19960408
PRIORITY APPLN. INFO.:			US 1994-181628	19940113
			WO 1995-US572	19950113

OTHER SOURCE(S): MARPAT 124:56726
AB Synthetic receptors comprising a polyfunctional org. template covalently linked to two or more oligomers which may independently be the same or different and may independently be straight chain, cyclic or branched, were prepd. Preferably, the template is covalently linked to a solid support which is linked to an identifier. Libraries of synthetic receptors and methods for assaying synthetic receptor libraries to det. suitable synthetic receptor(s) which (a) bind an acceptor mol.; (b) exhibit biol. activity; (c) which catalyze a reaction or inhibit a catalyzed reaction; and (d) sep. compds. in chromatog, are described. Combinatorial libraries (I; P = polymer support; A1-A4 = Ala, Val, Leu, Phe, Pro, Ser, Thr, Lys, Glu, Asp) were prepd. using FMOC chem.; several members of the library were found to bind Leu-enkephalin and Met-enkephalin very selectively.
IT **171762-33-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of synthetic receptors and libraries thereof)
RN 171762-33-7 CAPLUS
CN Glycine, N-(N-acetyl-L-phenylalanyl)-, (3.alpha.,5.beta.,7.alpha.)-7-[[(acetylamino)acetyl]oxy]-23-carboxy-24-norcholan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L39 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2003 ACS (Continued)



L39 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:45102 CAPLUS
DOCUMENT NUMBER: 122:188108
TITLE: Peptidosteroidal Receptors for Opioid Peptides. Sequence-Selective Binding Using a Synthetic Receptor Library
AUTHOR(S): Boyce, Rustum; Li, Ge; Nestler, H. Peter; Suenaga, Toshiro; Still, W. Clark
CORPORATE SOURCE: Department of Chemistry, Columbia University, New York, NY, 10027, USA
SOURCE: Journal of the American Chemical Society (1994), 116(17), 7955-6
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English

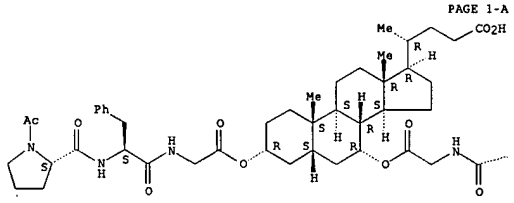
AB Peptidosteroids I (PS = polystyrene; V1 = Ac-AA1-AA2-Gly and V2 = Ac-AA3-AA4 where AA = amino acid residues) were prepd. in 104 different forms by encoded combinational chem. Using a series of enkephalin-like opioid peptides as substrates, different substrates preferentially bind different members of the above peptidosteroid receptor library.

IT **161419-34-7DP**, aminomethyl polystyrene resin-bound
161419-35-8DP, aminomethyl polystyrene resin-bound
161419-36-9DP, aminomethyl polystyrene resin-bound
161419-37-0DP, aminomethyl polystyrene resin-bound
161419-38-1DP, aminomethyl polystyrene resin-bound
161419-39-2DP, aminomethyl polystyrene resin-bound
RL: PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)
(sequence-selective binding for opioid peptides using a synthetic peptidosteroidal receptor library)

RN 161419-34-7 CAPLUS
CN Cholan-24-oic acid, 3,7-dihydroxy-, 7-ester with N-[1-(N-acetyl-L-leucyl)-L-prolyl]glycine, 3-ester with N-[N-(1-acetyl-L-prolyl)-L-phenylalanyl]glycine, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



L39 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2003 ACS (Continued)

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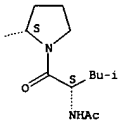
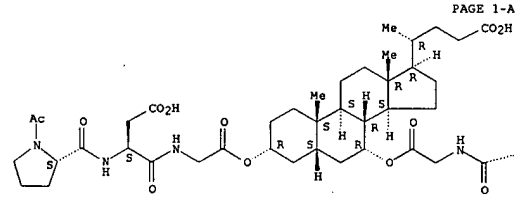


RN 161419-35-8 CAPLUS
CN Cholan-24-oic acid, 3,7-dihydroxy-, (3.fwdarw.1)-ester with N-[N-(1-acetyl-L-prolyl)-L-.alpha.-asparty1]glycine, 7-ester with N-[1-(N-acetyl-L-valyl)-L-prolyl]glycine, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

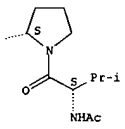
Absolute stereochemistry.

PAGE 1-A



L39 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2003 ACS (Continued)

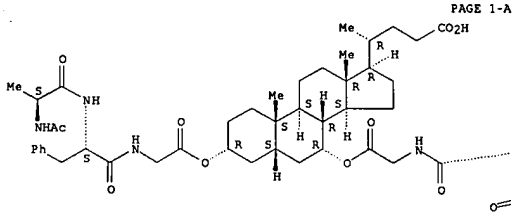
PAGE 1-B



RN 161419-36-9 CAPLUS
CN Cholan-24-oic acid, 3,7-dihydroxy-, 3-ester with N-[N-(N-acetyl-L-alanyl)-L-phenylalanyl]glycine, 7-ester with N-[1-(N-acetyl-L-valyl]-L-prolyl]glycine, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

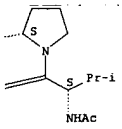
Absolute stereochemistry.

PAGE 1-A



L39 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2003 ACS (Continued)

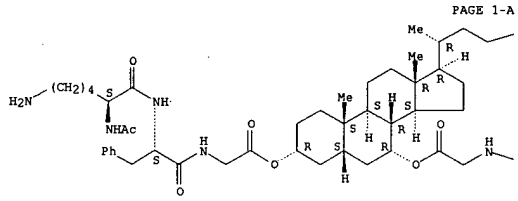
PAGE 1-B



RN 161419-37-0 CAPLUS
CN Cholan-24-oic acid, 3,7-dihydroxy-, 3-ester with N-[N-(N2-acetyl-L-lysyl)-L-phenylalanyl]glycine, 7-ester with N-[N-(1-acetyl-L-prolyl)-L-phenylalanyl]glycine, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

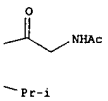
Absolute stereochemistry.

PAGE 1-A



L39 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2003 ACS (Continued)

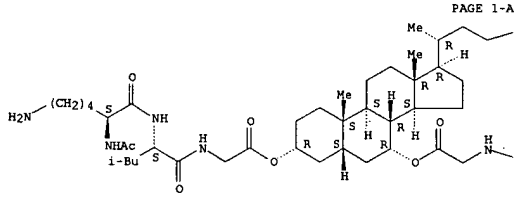
PAGE 1-B



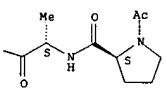
RN 161419-39-2 CAPLUS
CN Cholan-24-oic acid, 3,7-dihydroxy-, 3-ester with N-[N-(N2-acetyl-L-lysyl)-L-leucyl]glycine, 7-ester with N-[N-(1-acetyl-L-prolyl)-L-alanyl]glycine, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

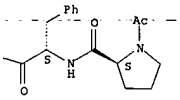


PAGE 1-B



L39 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2003 ACS (Continued)

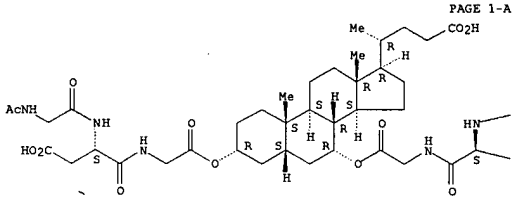
PAGE 1-B



RN 161419-38-1 CAPLUS
CN Cholan-24-oic acid, 3,7-dihydroxy-, (3.fwdarw.1)-ester with N-[N-(N-acetylglycyl)-L-.alpha.-aspartyl]glycine, 7-ester with N-[N-(N-acetylglycyl)-L-valyl]glycine, (3.alpha.,5.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



=> d ibib ab hitstr 1-2

L38 ANSWER 1 OF 2 USPATFULL
ACCESSION NUMBER: 2002:172518 USPATFULL
TITLE: Steroid derived antibiotics
INVENTOR(S): Savage, Paul B., Springville, UT, UNITED STATES
Li, Chunhong, Provo, UT, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002091278	A1	20020711
APPLICATION INFO.:	US 2001-930316	A1	20010815 (9)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1999-234008, filed on 19 Jan 1999, PATENTED. Continuation-in-part of Ser. No. WO 1998-US4489, filed on 6 Mar 1998, UNKNOWN

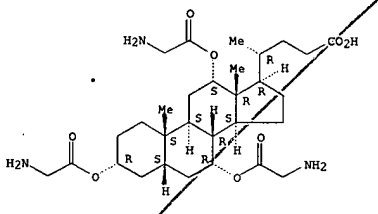
	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-225467P	20000815 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: JOHN W. FREEMAN, ESQ., Fish & Richardson P.C., 225 Franklin Street, Boston, MA, 02110-2804
NUMBER OF CLAIMS: 58
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 10 Drawing Page(s)
LINE COUNT: 3770
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A series of novel steroid derivatives are described. The steroid derivatives are antibacterial agents. The steroid derivatives also act to sensitize bacteria to other antibiotics including erythromycin and novobiocin.

IT **302784-44-7P**
(prepn. of steroid derivs. as antibiotics)
RN 302784-44-7 USPATFULL
CN Cholan-24-oic acid, 3,7,12-tris[(aminoacetyl)oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

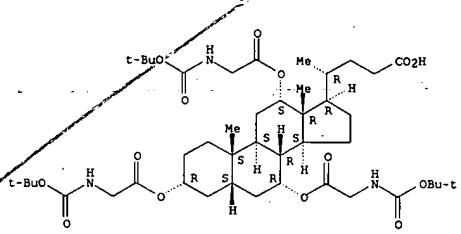
Absolute stereochemistry.



IT **302784-51-6P**
(prepn. of steroid derivs. as antibiotics)
RN 302784-51-6 USPATFULL

L38 ANSWER 1 OF 2 USPATFULL (Continued)
CN Cholan-24-oic acid, 3,7,12-tris[[[[(1,1-dimethylethoxy)carbonyl]amino]acetyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L38 ANSWER 2 OF 2 USPATFULL
ACCESSION NUMBER: 1998:108394 USPATFULL
TITLE: Synthetic receptors, libraries and uses thereof
INVENTOR(S): Still, W. Clark, Clinton, NY, United States
Li, Ge, Plainsboro, NJ, United States
PATENT ASSIGNEE(S): The Trustees of Columbia University in The City of New York, New York, NY, United States (U.S. corporation)

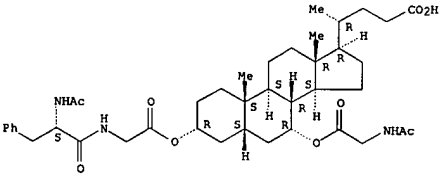
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5804563		19980908
APPLICATION INFO.:	US 1996-628972		19960408 (8)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1994-181628, filed on 13 Jan 1994, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Hutzell, Paula K.
ASSISTANT EXAMINER: Bakalyar, Heather A.
LEGAL REPRESENTATIVE: Heslin & Rothenberg, PC
NUMBER OF CLAIMS: 14
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 6 Drawing Figure(s); 6 Drawing Page(s)
LINE COUNT: 1877
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention is directed to synthetic receptor(s) which comprises a polyfunctional organic template covalently linked to two or more oligomers which may independently be the same or different and may independently be straight chain or branched. The template may be linked to an identifier which uniquely defines the synthetic receptor. The identifier is a stable chemical molecule or a plurality of stable chemical molecules distinguishable and detectable to picomolar levels or may be an oligonucleotide. In an preferred embodiment, the template is covalently linked to a solid support which is linked to an identifier.

IT **171762-33-7P**
(prepn. of synthetic receptors and libraries thereof)
RN 171762-33-7 USPATFULL
CN Glycine, N-(N-acetyl-L-phenylalanyl)-, (3.alpha.,5.beta.,7.alpha.)-7-[[(acetylamino)acetyl]oxy]-23-carboxy-24-norcholan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L39 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:522683 CAPLUS
DOCUMENT NUMBER: 137:79113
TITLE: Preparation of steroid derived antibiotics
INVENTOR(S): Savage, Paul B.; Li, Chunhong
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 62 pp., Cont.-in-part of U.S. Ser. No. 234,008.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002091278	A1	20020711	US 2001-930316	20010815
WO 9944616	A1	19990910	WO 1998-US4489	19980306
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6350738	B1	20020226	US 1999-234008	19990119

PRIORITY APPLN. INFO.: WO 1998-US4489 A2 19980306
US 1999-234008 A2 19990119
US 2000-225467P P 20000815

OTHER SOURCE(S): MARPAT 137:79113

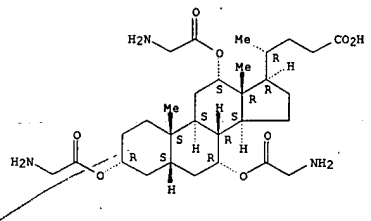
AB Novel steroid derivs. of formula I [R1-R4, R6, R7, R11, R12, R15-R17 = H, OH, alkyl, hydroxyalkyl, alkoxy, alkylamino, aryl, etc.; R5, R8-R10, R13, R14 = H, OH, alkyl, hydroxyalkyl, aminoalkyl, aryl, etc.] are prepd. The steroid derivs. are antibacterial agents. The steroid derivs. also act to sensitize bacteria to other antibiotics including erythromycin and novobiocin. Thus, II was prepd. from Me cholate, allyl bromide and benzylmethylamine in several steps. The prepd. compds. were tested against Gram-neg. bacteria.

IT **302784-44-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of steroid derivs. as antibiotics)

RN 302784-44-7 CAPLUS
CN Cholan-24-oic acid, 3,7,12-tris[(aminoacetyl)oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

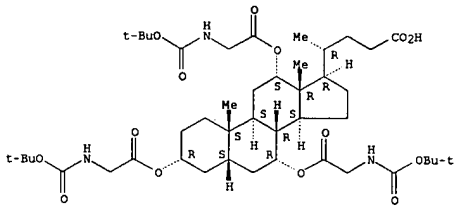
L39 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT **302784-51-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of steroid derivs. as antibiotics)

RN 302784-51-6 CAPLUS
CN Cholan-24-oic acid, 3,7,12-tris[[[[(1,1-dimethylethoxy)carbonyl]amino]acetyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L39 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:142730 CAPLUS
DOCUMENT NUMBER: 136:200350
TITLE: Preparation of steroid derived antibiotics
INVENTOR(S): Savage, Paul B.; Li, Chunhong
PATENT ASSIGNEE(S): Brigham Young University, USA
SOURCE: PCT Int. Appl., 128 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014342	A1	20020221	WO 2001-US25532	20010815
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001084934	A5	20020225	AU 2001-84934	20010815

PRIORITY APPLN. INFO.: US 2000-225467P P 20000815
WO 2001-US25532 W 20010815

OTHER SOURCE(S): MARPAT 136:200350

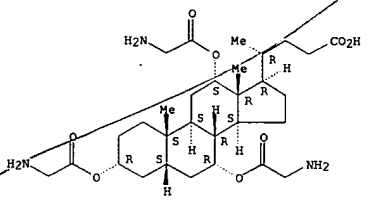
AB Novel steroid derivs., such as I [R1-R4, R6, R7, R11, R12, R15-R17 = H, OH, alkyl, hydroxyalkyl, alkoxyalkyl, alkylcarboxyalkyl aminoalkyl, oxo, steroid, etc.; R5, R8-R10, R13, R14 = H, OH, alkyl, hydroxyalkyl, alkoxyalkyl, aryl, aminoalkoxy, etc.], or a pharmaceutically acceptable salt thereof, are prepd. for use as antibacterial agents. The steroid derivs. also act to sensitize bacteria to other antibiotics including erythromycin and novobiocin. Thus, Me cholate was converted into steroid deriv. II in many steps. The MIC value of II against E. coli (ATCC 10798) was 2 .mu.g/mL.

IT **302784-44-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of steroidal antibiotics)

RN 302784-44-7 CAPLUS
CN Cholan-24-oic acid, 3,7,12-tris[(aminoacetyl)oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

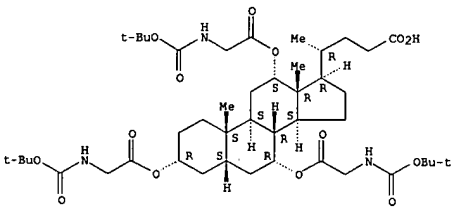
L39 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT **302784-51-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of steroidal antibiotics)

RN 302784-51-6 CAPLUS
CN Cholan-24-oic acid, 3,7,12-tris[[[[(1,1-dimethylethoxy)carbonyl]amino]acetyl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT